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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	NOV 23	Addition of SCAN format to selected STN databases
NEWS	11	NOV 23	Annual Reload of IFI Databases
NEWS	12	DEC 01	FRFULL Content and Search Enhancements
NEWS	13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS	14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS	15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS	16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS	17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:20:36 ON 04 JAN 2010

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 15:21:02 ON 04 JAN 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JAN 2010 HIGHEST RN 1200115-43-0
DICTIONARY FILE UPDATES: 3 JAN 2010 HIGHEST RN 1200115-43-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

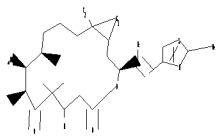
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

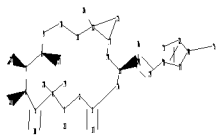
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10591921a.str





chain nodes :
17 18 19 20 21 22 23 24 26 27 29 35 36

ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 25 30 31 32 33 34
chain bonds :
1-17 3-21 4-19 4-20 5-18 6-22 7-23 8-27 12-26 15-24 24-29 24-36 29-30
34-35
ring bonds :
1-2 1-16 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 12-25
13-14 13-25 14-15 15-16 30-31 30-33 31-32 32-34 33-34
exact/norm bonds :
1-2 1-16 1-17 2-3 3-4 3-21 4-5 4-19 4-20 5-6 5-18 6-7 6-22 7-8 7-23
8-9 8-27 9-10 10-11 11-12 12-13 12-25 12-26 13-14 13-25 14-15 15-16
15-24 24-29 24-36 29-30 30-31 30-33 31-32 32-34 33-34 34-35
isolated ring systems :
containing 1 : 30 :

G1:C,O

G2:H,Ak,CH3,Et,n-Pr

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:Atom 26:CLASS 27:CLASS
29:CLASS 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:CLASS 36:CLASS

Stereo Bonds:

22-6 (Single Hash).
23-7 (Single Wedge).
24-15 (Single Wedge).
27-8 (Single Hash).

Stereo Chiral Centers:

6 (Parity=Even)
7 (Parity=Odd)
8 (Parity=Odd)
15 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 4 Nodes= 6 7 8 15

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 15:21:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 498 TO 1302
PROJECTED ANSWERS: 11 TO 389

L2 10 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:21:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 770 TO ITERATE

100.0% PROCESSED 770 ITERATIONS 175 ANSWERS
SEARCH TIME: 00.00.01

L3 175 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 191.54 191.76

FILE 'HCAPLUS' ENTERED AT 15:21:42 ON 04 JAN 2010

FILE COVERS 1907 - 4 Jan 2010 VOL 152 ISS 2
FILE LAST UPDATED: 3 Jan 2010 (20100103/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4          832 L3

=> s l4 and epothilone derivatives
           1258 EPOTHILONE
           1020 EPOTHILONES
           1626 EPOTHILONE
                  (EPOTHILONE OR EPOTHILONES)
         379022 DERIVATIVES
        1242341 DERIVS
        1359744 DERIVATIVES
                  (DERIVATIVES OR DERIVS)
            106 EPOTHILONE DERIVATIVES
                  (EPOTHILONE(W)DERIVATIVES)
L5           71 L4 AND EPOTHILONE DERIVATIVES

=> s l5 and py<=2004
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L6           48 L5 AND PY<=2004

=> s l6 and p/dt
        7012674 P/DT
L7           45 L6 AND P/DT

=> s l7 and us/pc
        2024782 US/PC
L8           38 L7 AND US/PC

=> s l8 and pharmaceutical
         376608 PHARMACEUTICAL
          95011 PHARMACEUTICALS
         433406 PHARMACEUTICAL
                  (PHARMACEUTICAL OR PHARMACEUTICALS)
L9           16 L8 AND PHARMACEUTICAL

=> d l9 ibib abs hitstr tot

L9   ANSWER 1 OF 16  HCAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2005:572598  HCAPLUS
DOCUMENT NUMBER:          143:97209
TITLE:                    Synthesis of epothilones for use in
                          pharmaceutical compositions as antitumor
                          agents
INVENTOR(S):              Danishefsky, Samuel J.; Rivkin, Alexey; Yoshimura,
                          Fumihiko; Chou, Ting-Chao; Gabarda, Ana E.; Dong,
                          Huajin; Wu, Kaida; Moore, Malcolm A. S.; Dorn, David
PATENT ASSIGNEE(S):       USA
SOURCE:                   U.S. Pat. Appl. Publ., 274 pp., Cont.-in-part of U.S.
                          Ser. No. 435,408.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   3
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.        DATE
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US 20050143429	A1	20050630	US 2004-921109	20040818	<--
US 7384964	B2	20080610			
US 20040053995	A1	20040318	US 2003-402004	20030328	<--
US 6921769	B2	20050726			
US 20040053910	A1	20040318	US 2003-435408	20030509	<--
AU 2005218308	A1	20050915	AU 2005-218308	20050228	
CA 2556692	A1	20050915	CA 2005-2556692	20050228	
WO 2005084222	A2	20050915	WO 2005-US6051	20050228	
WO 2005084222	A3	20051124			

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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1722791	A2	20061122	EP 2005-723768	20050228	

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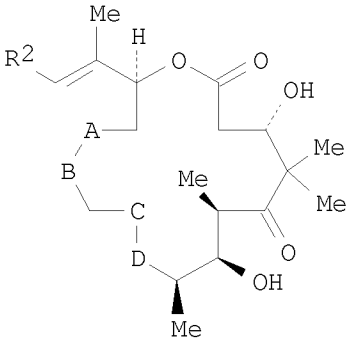
CN 1976699	A	20070606	CN 2005-80013480	20050228	
BR 2005008232	A	20070717	BR 2005-8232	20050228	
JP 2007525519	T	20070906	JP 2007-500999	20050228	
ZA 2005002337	A	20060927	ZA 2005-2337	20050318	
MX 2006009792	A	20061116	MX 2006-9792	20060828	
KR 2007100626	A	20071011	KR 2006-720063	20060927	
US 20090149516	A1	20090611	US 2008-135823	20080609	<--

PRIORITY APPLN. INFO.:

US 2002-405823P	P	20020823
US 2002-408589P	P	20020906
US 2002-423129P	P	20021101
US 2003-456159P	P	20030320
US 2003-402004	A2	20030328
US 2003-435408	A2	20030509
US 2003-496741P	P	20030821
US 2004-548402P	P	20040227
US 2004-921109	A	20040818
WO 2005-US6051	W	20050228

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:97209; MARPAT 143:97209
GI



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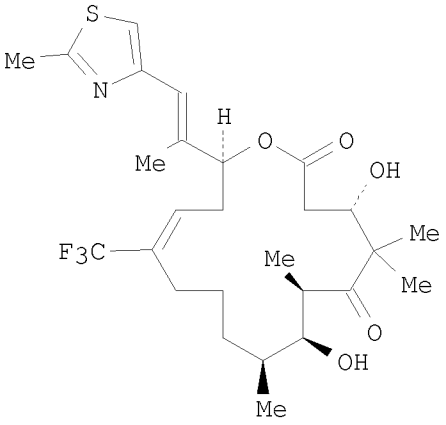


II

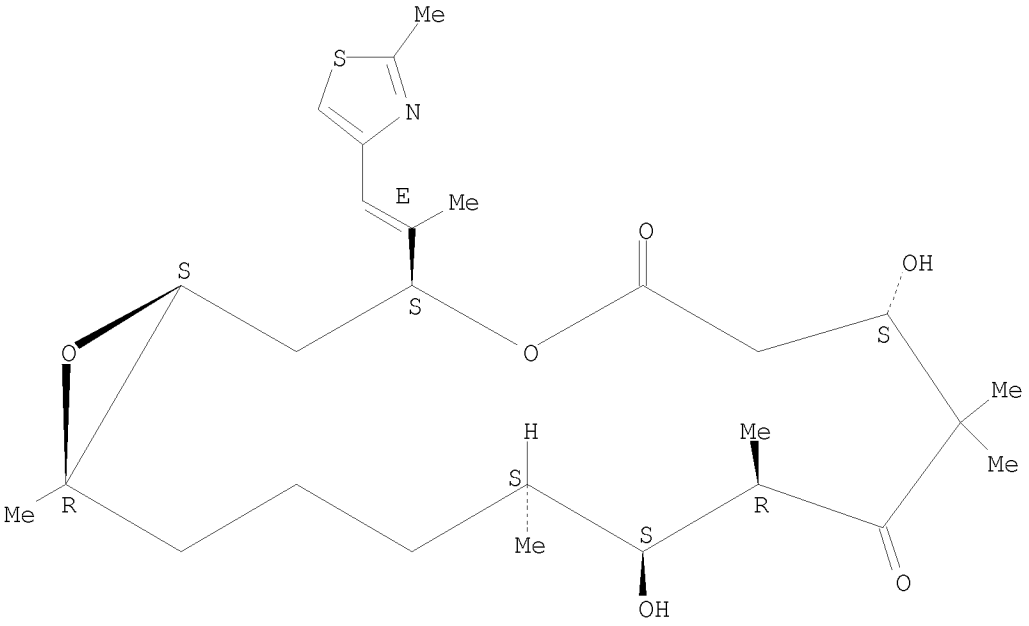
AB Epothilone analogs, such as I [-A-B-, -C-D- = -C.tplbond.C-, -CH(R)CH(R1)-, -C(R):C(R1)-; R, R1 = H, alkyl, halogen, alkoxy, acyl, etc.; -A-B- = fused oxirane ring; -C-D- = fused cyclopropane or fused aziridine ring; R2 = aryl, heteroaryl, arylalkyl, heteroarylalkyl] are prepared as antitumor agents. The present invention also provides pharmaceutical compns. comprising compds. of formula I and provides methods of treating cancer comprising administering a compound of formula I. Thus, II was prepared via an intramol. methathesis macrocyclization synthetic sequence and showed good cell growth inhibition against various drug-resistant tumors.

IT 152044-54-7P 190370-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of epothilone derivs. for use in pharmaceutical compns. as antitumor agents)

RN 152044-54-7 HCAPLUS

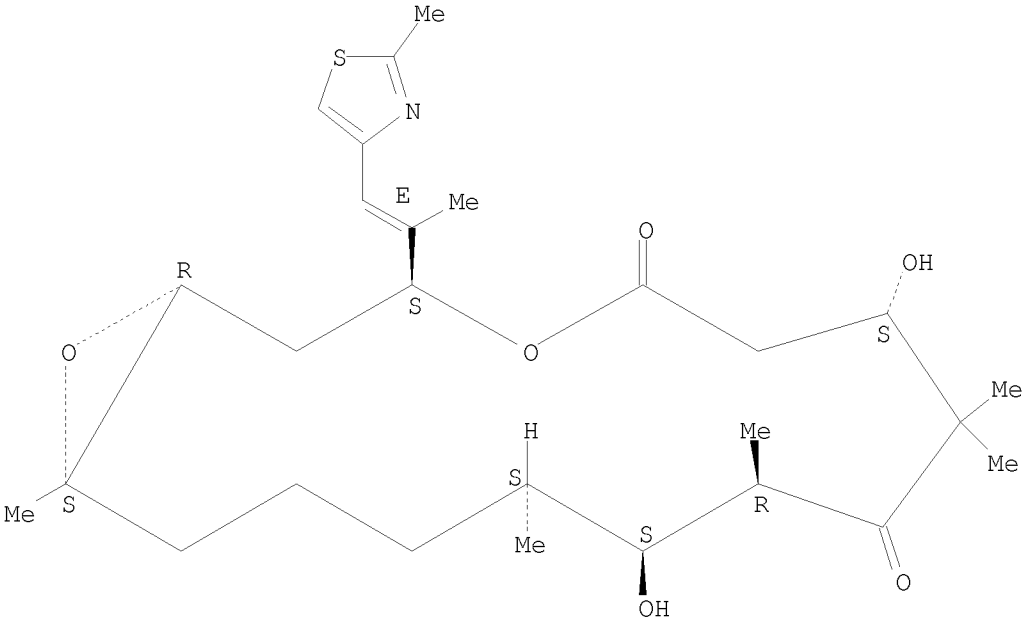
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 190370-13-9 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:780536 HCAPLUS
DOCUMENT NUMBER: 141:271549
TITLE: Treatment of proliferative diseases with epothilone derivatives and radiation
INVENTOR(S): Pruschy, Martin
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080458	A1	20040923	WO 2004-EP2610	20040312 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2519037	A1	20040323	CA 2004-2519037	20040312 <--
AU 2004218927	A1	20040923	AU 2004-218927	20040312 <--
EP 1605937	A1	20051221	EP 2004-719972	20040312
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BR 2004008366	A	20060321	BR 2004-8366	20040312
CN 1758908	A	20060412	CN 2004-80006581	20040312
JP 2006520353	T	20060907	JP 2006-504672	20040312
NZ 542305	A	20090331	NZ 2004-542305	20040312
ZA 2005006942	A	20060726	ZA 2005-6942	20050830
NO 2005004723	A	20051013	NO 2005-4723	20051013
US 20070129411	A1	20070607	US 2006-549978	20061115 <--
AU 2008200555	A1	20080228	AU 2008-200555	20080206
PRIORITY APPLN. INFO.:			GB 2003-5928 A	20030314
			AU 2004-218927 A3	20040312
			WO 2004-EP2610 W	20040312

OTHER SOURCE(S): MARPAT 141:271549
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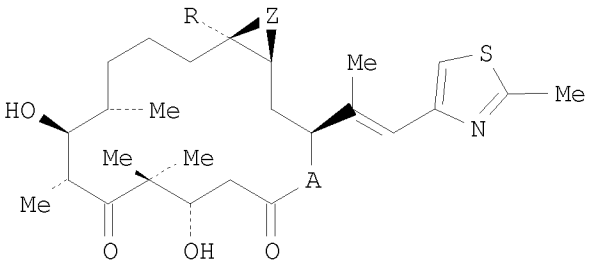


I

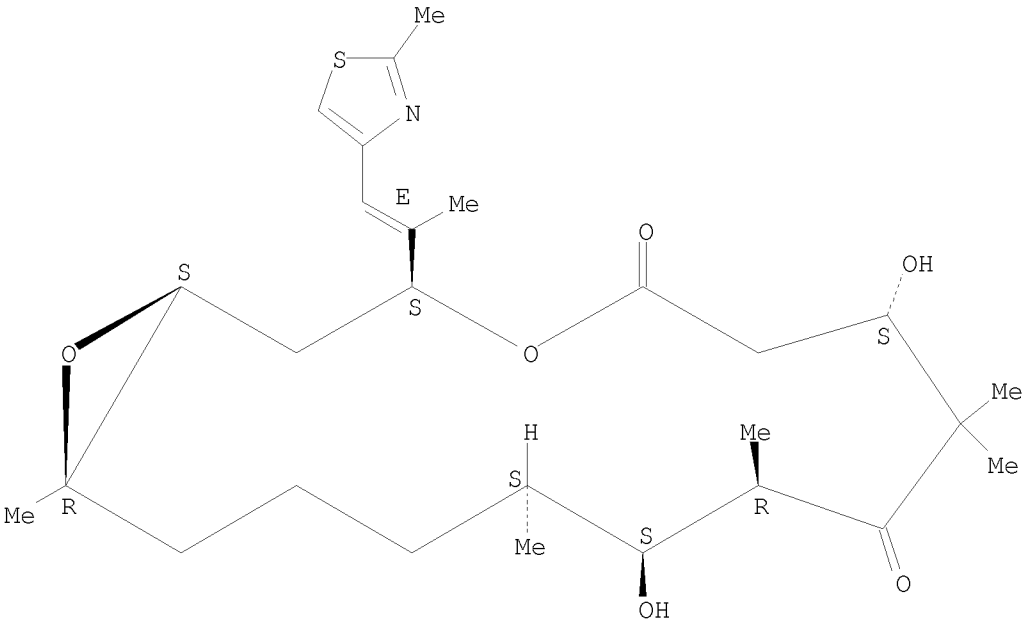
AB The invention discloses compds. I (A = O, NRN [RN = H, lower alkyl); R = H, lower alkyl; Z = O, bond], in particular pharmaceutical compns. for use in combination with ionizing radiation for the delay of progression or treatment of a proliferative disease, especially a solid tumor disease.

IT 152044-54-7, Epothilone B
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Treatment of proliferative diseases with epothilone derivs. and radiation)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:550960 HCAPLUS
DOCUMENT NUMBER: 141:106321
TITLE: Preparation of epothilone derivatives for use in pharmaceutical compositions as antitumor agents
INVENTOR(S): Denni-Dischert, Donatienne; Floersheimer, Andreas; Kuesters, Ernst; Oberer, Lukas; Sedelmeier, Gottfried
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056832	A2	20040708	WO 2003-EP14747	20031222 <--
WO 2004056832	A3	20040910		
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RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2510620	A1	20040708	CA 2003-2510620	20031222 <--
AU 2003294938	A1	20040714	AU 2003-294938	20031222 <--
EP 1581536	A2	20051005	EP 2003-785920	20031222
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BR 2003017693	A	20051122	BR 2003-17693	20031222
CN 1732172	A	20060208	CN 2003-80107416	20031222
CN 100384851	C	20080430		
JP 2006514025	T	20060427	JP 2004-561416	20031222
US 20060014796	A1	20060119	US 2005-538200	20050609 <--
US 7317100	B2	20080108		

PRIORITY APPLN. INFO.:	GB 2002-30024	A	20021223
	WO 2003-EP14747	W	20031222

OTHER SOURCE(S): MARPAT 141:106321
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

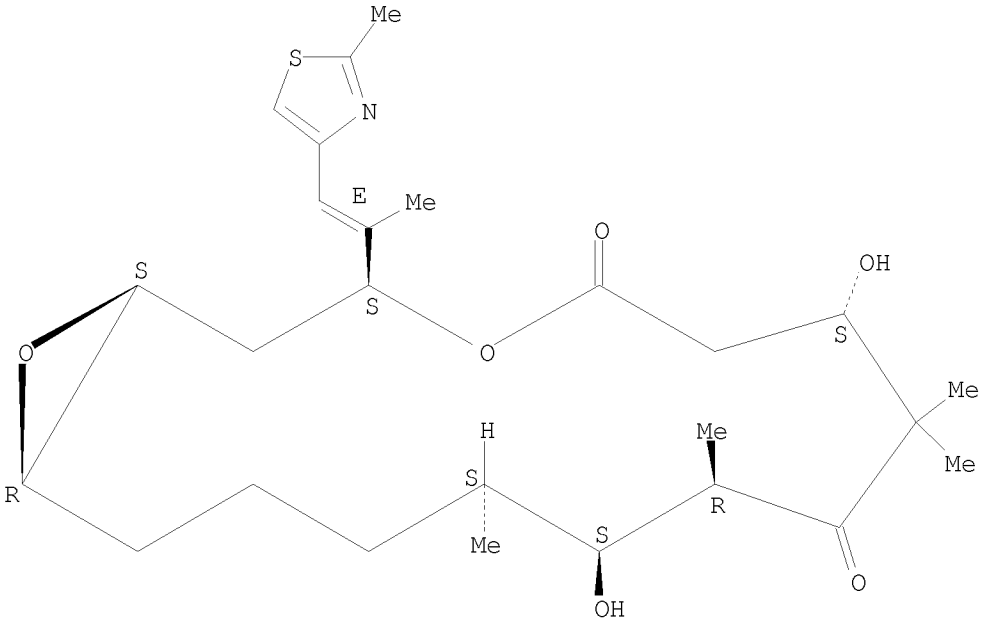
AB C4-demethyl-epothilones or C4-bisnor-epothilones, such as I [R1, R7 = H, alkyl; R2 = nitrogen containing heteroaryl; R3 = H, Me; X = O, NR7; Z = O, bond], were prepared via fermentation and organic synthesis for use in pharmaceutical compns. as antitumor agents. Thus,

C4-bisnor-epothilone B II (R3 = H) was prepared via an aldol condensation of aldehyde III with in situ disilylated (3R)-3-hydroxy-5-oxoheptanoic acid followed by a desilylation/macrolactonization reaction sequence. Also, C4-demethyl-epothilone B II (R = Me) was prepared directly by a fermentation process. The prepared epothilones were assayed for efficacy against human KB-31 and KB-8511 carcinoma cells. Drug delivery formulations containing the prepared epithilones were presented.

IT 152044-53-6, Epothilone A 152044-54-7, Epothilone B
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(preparation of epothilone derivs. via fermentation and organic synthesis for use in pharmaceutical compns. as antitumor agents)

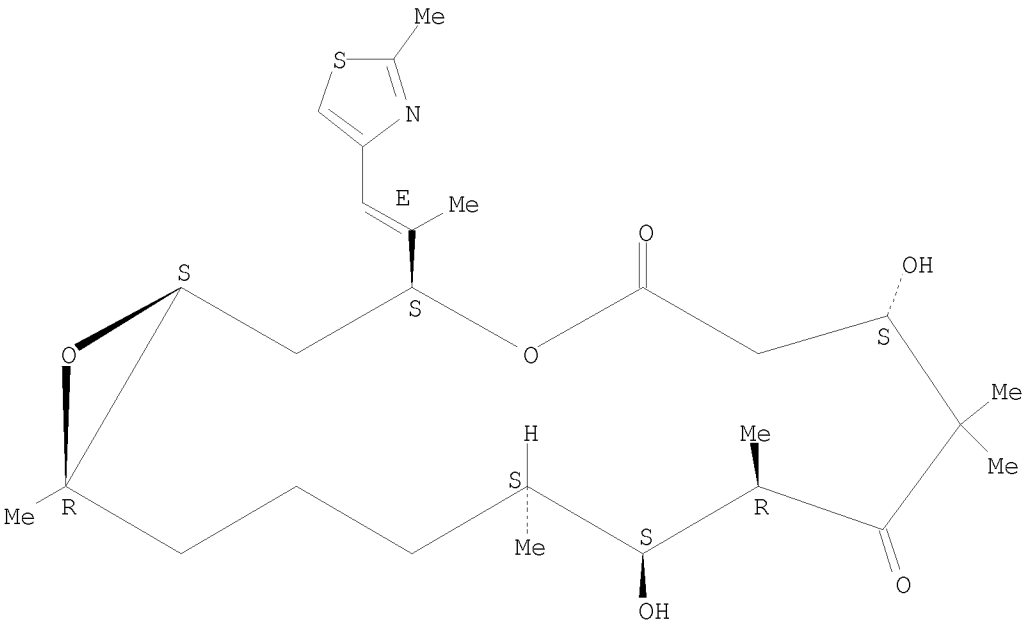
RN 152044-53-6 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:428797 HCAPLUS
DOCUMENT NUMBER: 141:12271
TITLE: Preparation of protein-stabilized liposomal formulations of pharmaceutical agents
INVENTOR(S): Singh, Chandra U.
PATENT ASSIGNEE(S): Azaya Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043363	A2	20040527	WO 2003-US35297	20031106 <--
WO 2004043363	A3	20040812		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2505520	A1	20040527	CA 2003-2505520	20031106 <--

AU 2003287526	A1	20040603	AU 2003-287526		20031106 <--
US 20040247660	A1	20041209	US 2003-703187		20031106 <--
US 7179484	B2	20070220			
EP 1585504	A2	20051019	EP 2003-781768		20031106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006508126	T	20060309	JP 2004-551766		20031106
US 20070166368	A1	20070719	US 2007-619526		20070103 <--
PRIORITY APPLN. INFO.:			US 2002-424230P	P	20021106
			US 2003-703187	A1	20031106
			WO 2003-US35297	W	20031106

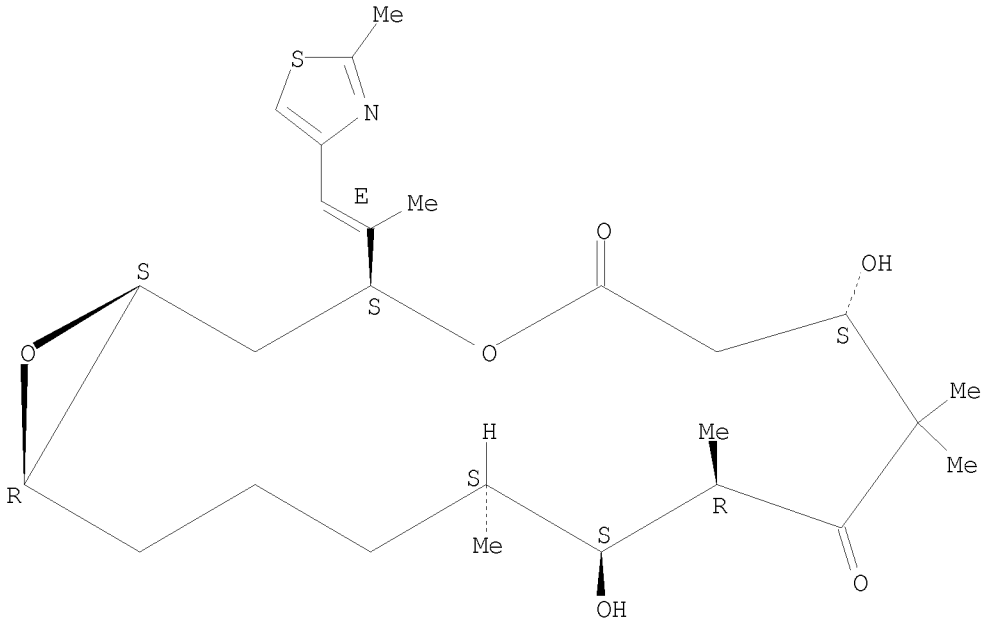
AB The present invention provides a method of preparing a protein-stabilized lipid formulation containing at least one lipophilic pharmaceutical agent. Specifically, the present invention discloses compns. and methods for protein stabilized liposomes, the creation of protein stabilized liposomes, and the administration of protein stabilized liposomes.

IT 152044-53-6, Epothilone-A 152044-54-7, Epothilone-B
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of protein-stabilized liposomal formulations of pharmaceutical agents)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

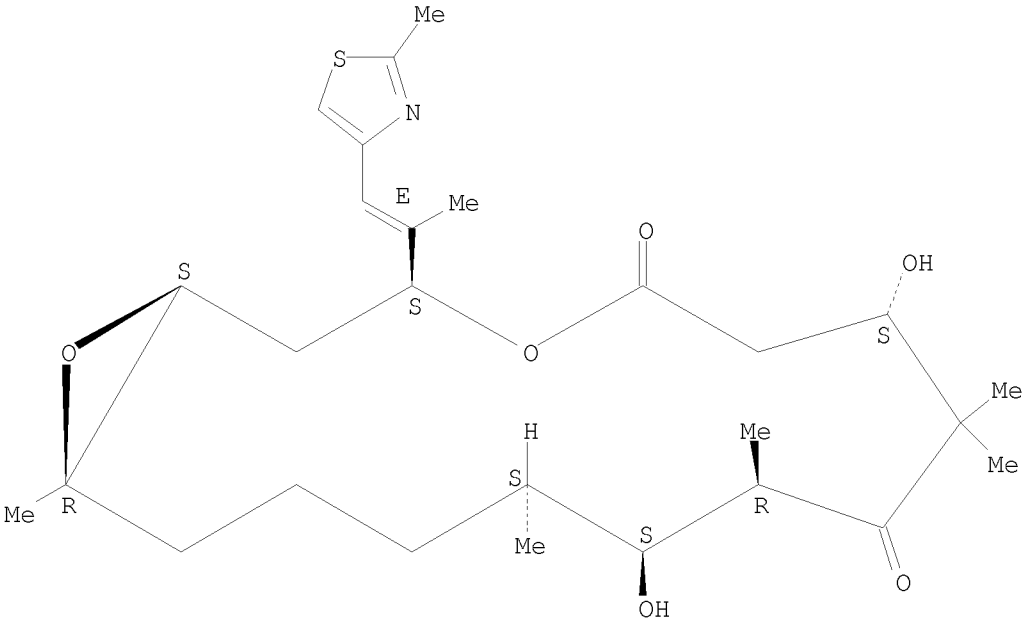
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:331975 HCAPLUS
DOCUMENT NUMBER: 140:332484
TITLE: Epothilone derivatives for the treatment of multiple myeloma
INVENTOR(S): Lin, Boris; Anderson, Kenneth C.; Griffin, James Douglas
PATENT ASSIGNEE(S): Dana-Farber Cancer Institute Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004032923	A1	20040422	WO 2003-IB4480	20031010 <--

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RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE,

	DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2501610		A1	20040422	CA 2003-2501610	20031010 <--
AU 2003264822		A1	20040504	AU 2003-264822	20031010 <--
EP 1553939		A1	20050720	EP 2003-807949	20031010
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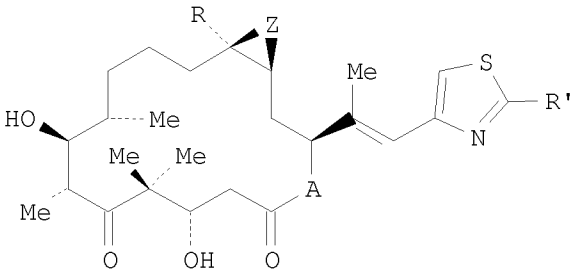
BR 2003014555		A	20050809	BR 2003-14555	20031010
CN 1703216		A	20051130	CN 2003-80101065	20031010
JP 2006504727		T	20060209	JP 2004-542749	20031010
US 20060094766		A1	20060504	US 2005-530857	20050816 <--
US 20090233973		A1	20090917	US 2009-474555	20090529 <--
PRIORITY APPLN. INFO.:				US 2002-417916P	P 20021011
				WO 2003-IB4480	W 20031010
				US 2005-530857	A1 20050816

OTHER SOURCE(S): MARPAT 140:332484
GI



I

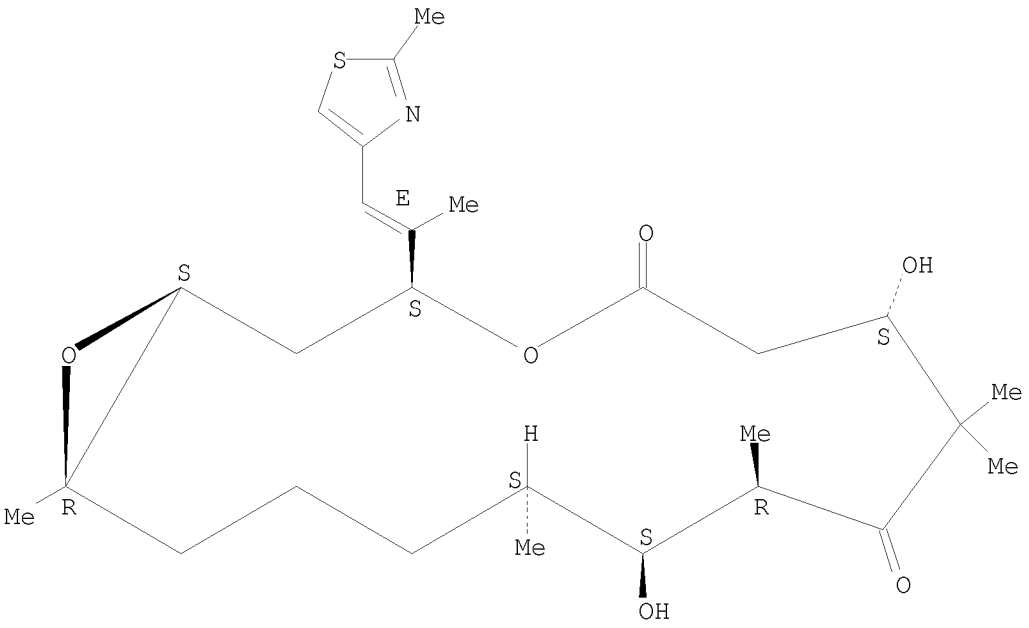
AB The invention discloses a method of treating a warm-blooded animal, especially a human, having myeloma, especially myeloma which is resistant to conventional cytotoxic chemotherapy, comprising administering to said animal a therapeutically effective amount of an epothilone, especially an epothilone of formula I (wherein A = O or NRN, wherein RN = hydrogen or lower alkyl, R = hydrogen or lower alkyl, R' = Me, methoxy, ethoxy, amino, methylamino, dimethylamino or methylthio, and Z = O or bond), to a combination comprising an epothilone, for simultaneous, sep. or sequential use; and to a pharmaceutical composition and a com. package comprising said combination.

IT 152044-54-7, Epothilone B
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epothilone derivs. for treatment of multiple myeloma)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:117139 HCAPLUS
DOCUMENT NUMBER: 140:181250
TITLE: Preparation of new epothilone peptide effector conjugates for pharmaceutical use in the treatment of proliferative or angiogenesis associated disease processes
INVENTOR(S): Berger, Markus; Klar, Ulrich; Siemeister, Gerhard; Willuda, Joerg; Menrad, Andreas; Bosslet, Klaus
PATENT ASSIGNEE(S): Schering AG, Germany
SOURCE: Ger. Offen., 43 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10234975	A1	20040212	DE 2002-10234975	20020731 <--
CA 2492437	A1	20040212	CA 2003-2492437	20030731 <--
WO 2004012735	A2	20040212	WO 2003-EP8483	20030731 <--
WO 2004012735	A3	20040527		

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            PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
            TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2003253365         A1    20040223    AU 2003-253365          20030731 <--
    US 20050026971        A1    20050203    US 2003-631011          20030731 <--
    US 7129254            B2    20061031
    EP 1524979            A2    20050427    EP 2003-743752          20030731
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
    BR 2003013043         A     20050614    BR 2003-13043           20030731
    JP 2006505627         T     20060216    JP 2005-506073          20030731
    CN 1812785            A     20060802    CN 2003-818111          20030731
    NZ 537870             A     20070330    NZ 2003-537870          20030731
    IN 2005DN00038        A     20090320    IN 2005-DN38            20050105
    MX 2005001282         A     20050428    MX 2005-1282            20050131
    ZA 2005001648         A     20060531    ZA 2005-1648            20050224
    NO 2005001038         A     20050406    NO 2005-1038            20050225
    US 20070088060        A1    20070419    US 2006-509557          20060825 <--
    US 7335775            B2    20080226
    IN 2007DN04669        A     20070831    IN 2007-DN4669          20070618
    US 20080166362        A1    20080710    US 2007-258             20071211 <--
PRIORITY APPLN. INFO.:                      DE 2002-10234975    A   20020731
                                            DE 2003-10305098    A   20030207
                                            US 2003-451673P     P   20030305
                                            US 2003-631011      A3  20030731
                                            WO 2003-EP8483      W   20030731
                                            IN 2005-DN38        A3  20050105
                                            US 2006-509557      A3  20060825
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):         MARPAT 140:181250
GI
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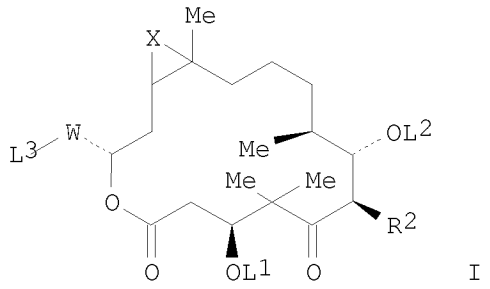

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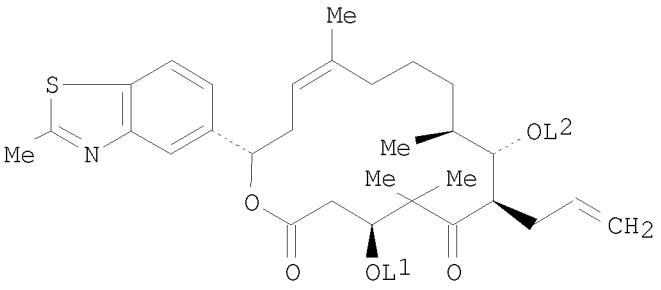


II

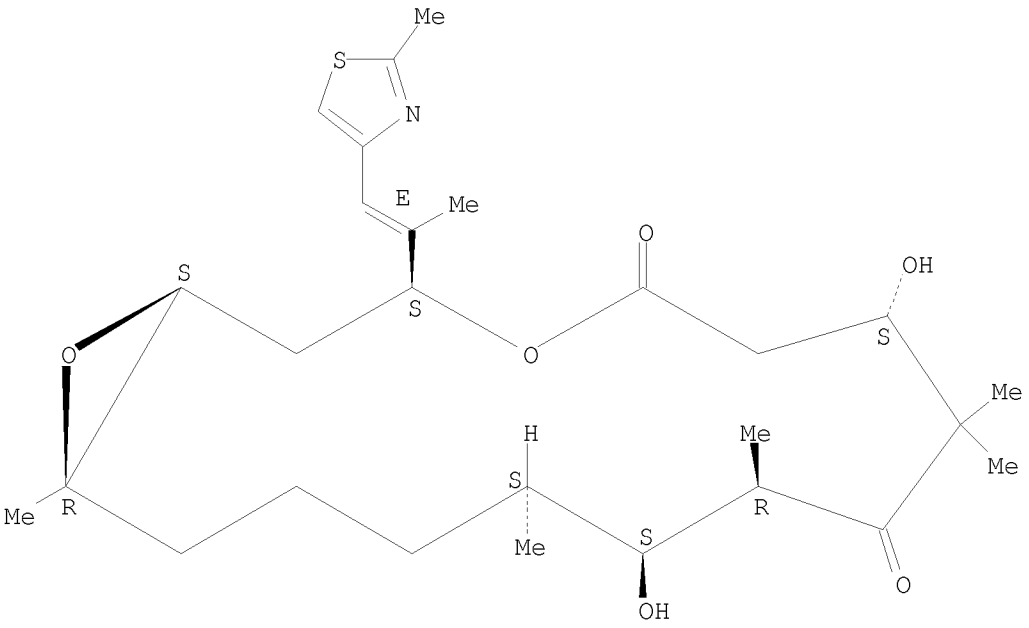
AB Preparation of epothilone derivs., such as I (R2 = alkyl, alkenyl, alkynyl, aryl, etc.; L1, L2 = carboxyl, carbamoyl, carbonic linking group with a terminal group, such as maleimido, suitable for forming a sulfide link with a bio. mol.; L3 = heteroaryl, such as thiazol-4-yl; W = alkenylene linking group; or L3W = heteroaryl, such as benzothiazol-5-yl; X = O, bond), as effectors linked with suitable biomols. as recognition units was described (no biol. testing data was presented). Production of the epothilone conjugates was carried out by the effectors being reacted with suitable linkers, and the compds. that were produced were conjugated to biomol. recognition units. These conjugates are claimed for use in the treatment of proliferative or angiogenesis-associated disease processes, such as tumors, inflammatory diseases, neurodegenerative diseases, such as multiple sclerosis and Alzheimer's disease, and rheumatoid arthritis. Thus, epothilone derivative II [L1 = 3-(2,5-dioxo-2,5-dihydropyrrol-1-yl)-1-Pr, L2 = H] was prepared via a carbamoylation of silylated epothilone I (L1 = H, L2 = SiMe2CMe3) with 3-(2,5-dioxo-2,5-dihydropyrrol-1-yl)-1-propylisocyanate and subsequent desilylation.

IT 152044-54-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of new epothilone antibody peptide effector conjugates for pharmaceutical use in the treatment of proliferative or angiogenesis associated disease processes)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

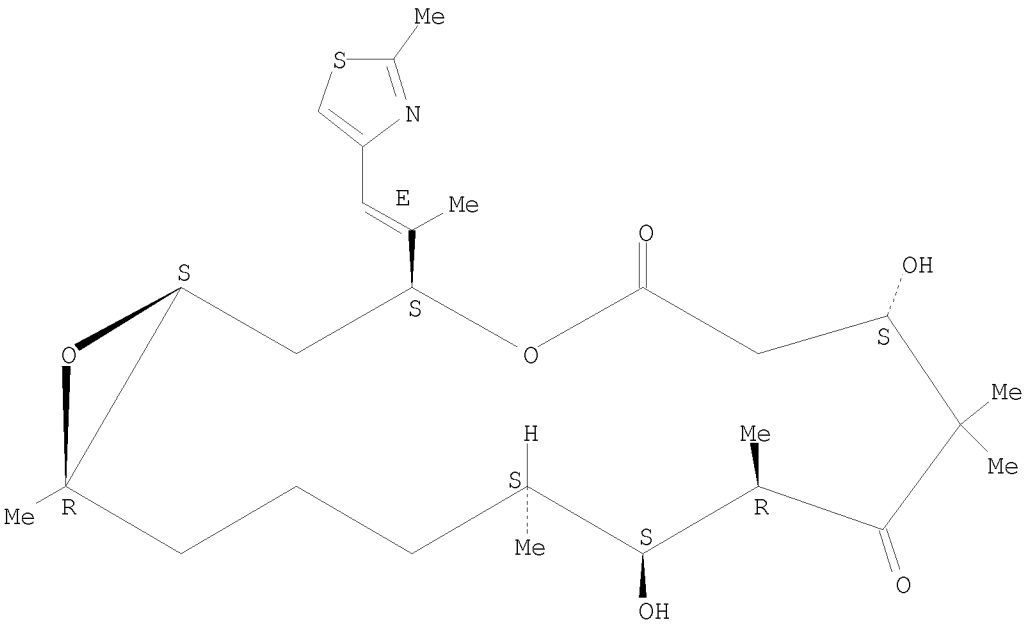


IT 152044-54-7DP, sulfide conjugate with peptide recognition biomol. 220773-47-7DP, sulfide conjugate with peptide recognition biomol.
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new epothilone antibody peptide effector conjugates for pharmaceutical use in the treatment of proliferative or angiogenesis associated disease processes)

RN 152044-54-7 HCAPLUS

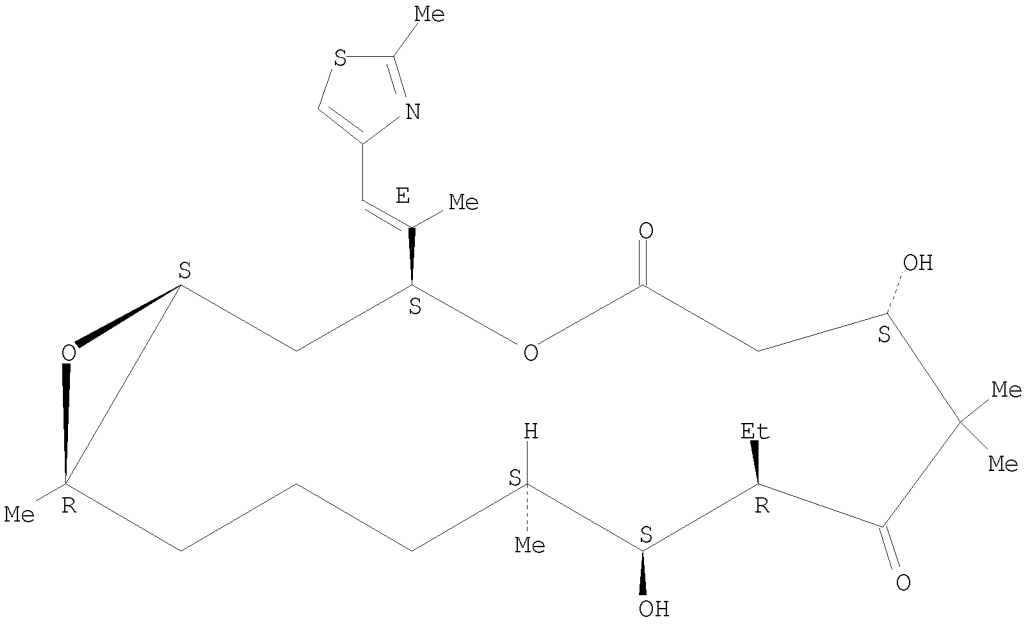
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 220773-47-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

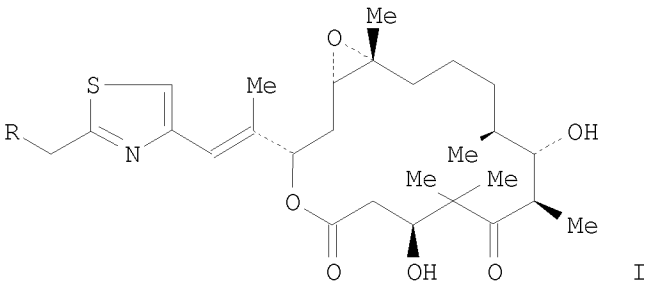


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L9 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:931114 HCAPLUS
DOCUMENT NUMBER: 139:395751
TITLE: Preparation of C-21 modified epothilone derivatives for use in pharmaceutical compositions for the treatment of cancer
INVENTOR(S): Lee, Francis Y. F.; Haby, Thomas A.; Naringrekar, Vijay H.; Raghavan, Krishnaswamy S.; Franchini, Miriam K.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003096975	A2	20031127	WO 2003-US15097	20030513 <--
WO 2003096975	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003234545	A1	20031202	AU 2003-234545	20030513 <--
US 20040053978	A1	20040318	US 2003-437103	20030513 <--
US 7053069	B2	20060530		
EP 1505969	A2	20050216	EP 2003-728885	20030513
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-380634P P 20020515
WO 2003-US15097 W 20030513
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:395751
GI



I

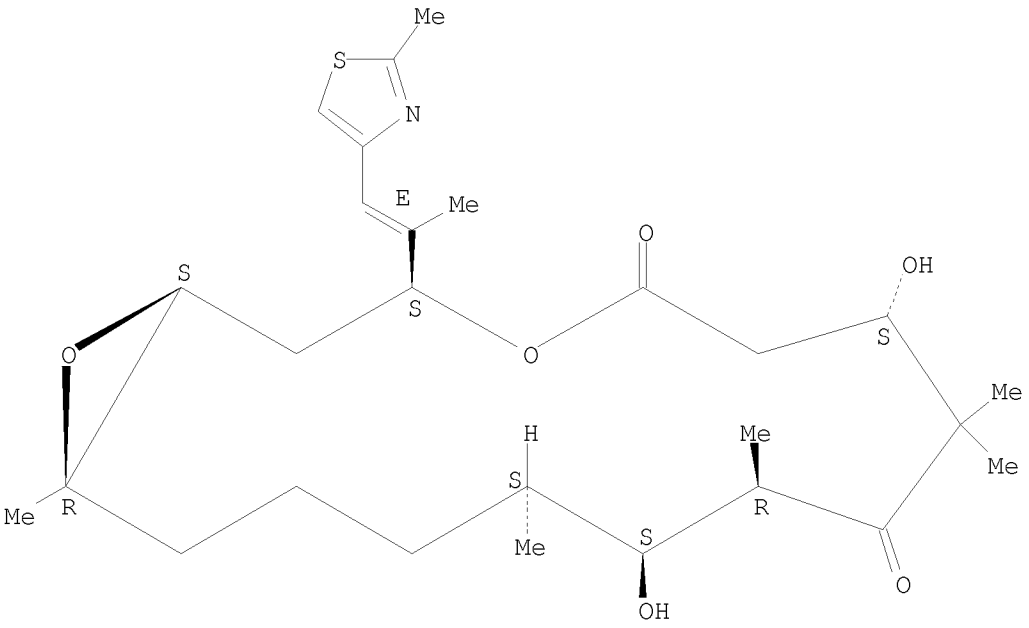
AB C-21 modified epothilones, such as I [R = NH2, OH, SH, alkylamino, alkoxy, alkylthio, etc.], were prepared for therapeutic use as antitumor agents. Thus, 21-aminoepothilone B I (R = NH2) was prepared by reaction of epothilone F I (R = OH) with diphenylphosphoryl azide in THF under argon to give 21-azidoepothilone B I (R = N3) in 91% yield and subsequent hydrogenation of the azide using Lindlar catalyst in EtOH and an H2 atmosphere to give the target amine in 81% yield. The compns. are stable and readily prepared for administration by dissoln. in aqueous vehicles suitable for i.v. administration. A process for formulating C-21 modified epothilone derivs. for oral and parenteral administration was disclosed.

IT 152044-54-7, Epothilone B
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of C-21 modified epothilone derivs. for use in pharmaceutical compns. for treatment of cancer)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

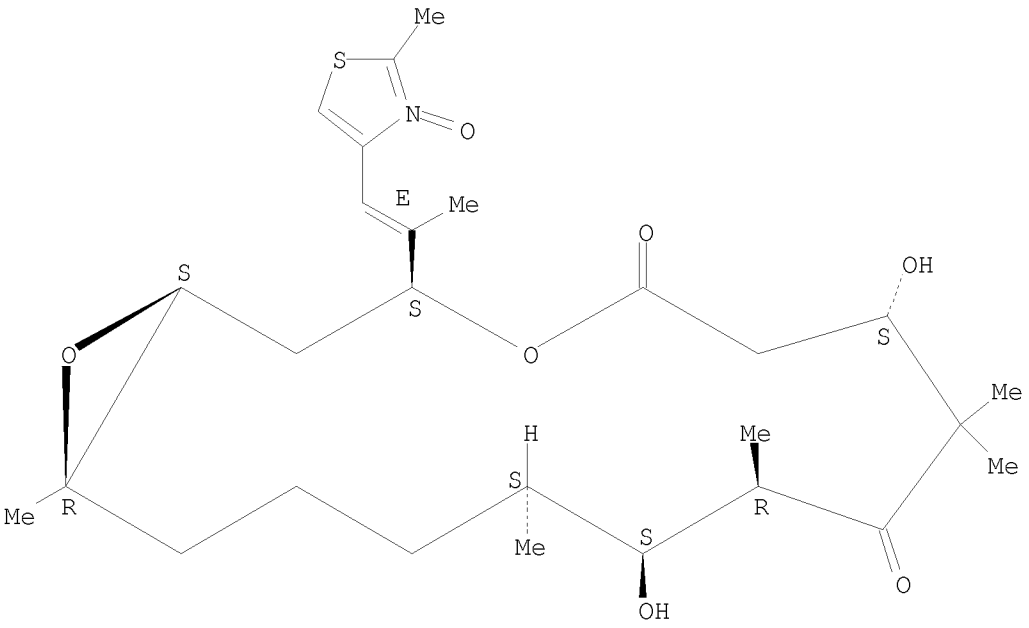


IT 219990-27-9P, Epothilone B N-oxide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of C-21 modified epothilone derivs. for use in pharmaceutical compns. for treatment of cancer)

RN 219990-27-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:757689 HCAPLUS
DOCUMENT NUMBER: 139:276755
TITLE: Preparation of epothilone derivatives for therapeutic use as anticancer agents
INVENTOR(S): Regueiro-Ren, Alicia; Kim, Soong-Hoon
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078411	A1	20030925	WO 2003-US7584	20030311 <--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003218110	A1	20030929	AU 2003-218110	20030311 <--
US 20030191089	A1	20031009	US 2003-386072	20030311 <--
US 6719540	B2	20040413		
EP 1483251	A1	20041208	EP 2003-714096	20030311 <--
EP 1483251	B1	20091223		

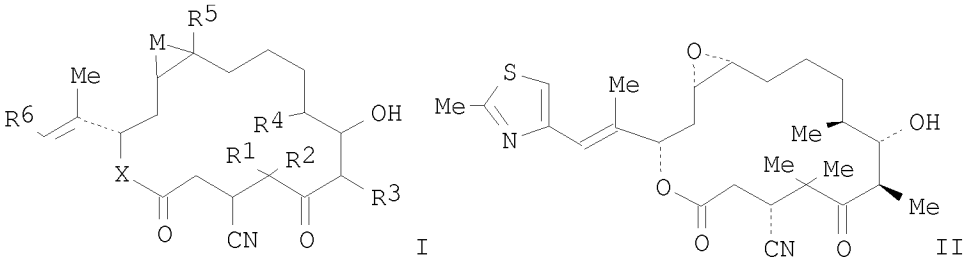
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-363441P P 20020312
WO 2003-US7584 W 20030311

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:276755

GI



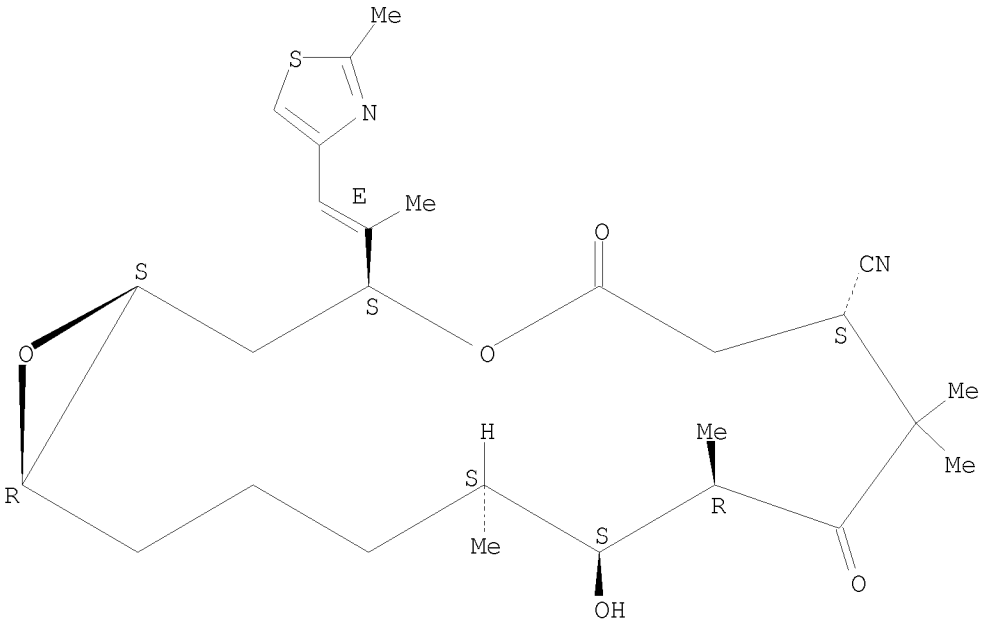
AB Epothilone derivs., such as I [M = bond, O, NR9, CR10R11; X = O, NH; R1-R4 = H, alkyl; R5 = H, alkyl, cyano; R6 = H, alkyl, aryl, heterocyclyl; R9-R11 = H, OH, alkyl, alkoxy, aryl, cycloalkyl, heterocyclyl], pharmaceutically acceptable salts, solvates or hydrate thereof, were prepared for use as antitumor agents. Thus, epothilone derivative II was prepared from 2,3-dehydro epothilone A, via silylation of hydroxyl group, potassium cyanide addition, followed by deprotection. The prepared epothilone derivs. were assayed in vitro for their effect on tubulin polymerization and for cytotoxicity against HCT-116 human colon carcinoma cells. Therapeutic compns. containing I or in combination with other therapeutic agents useful in the treatment of cancer or other proliferative diseases are also claimed.

IT 476623-89-9P 476623-90-2P 476623-91-3P
476623-92-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of epothilone derivs. for therapeutic use as anticancer agents)

RN 476623-89-9 HCAPLUS

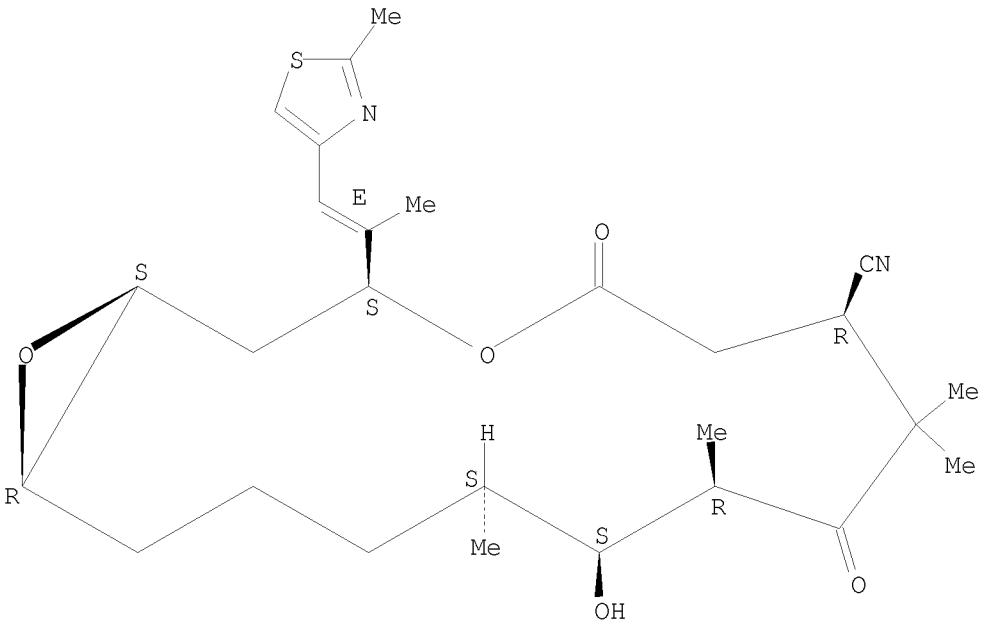
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



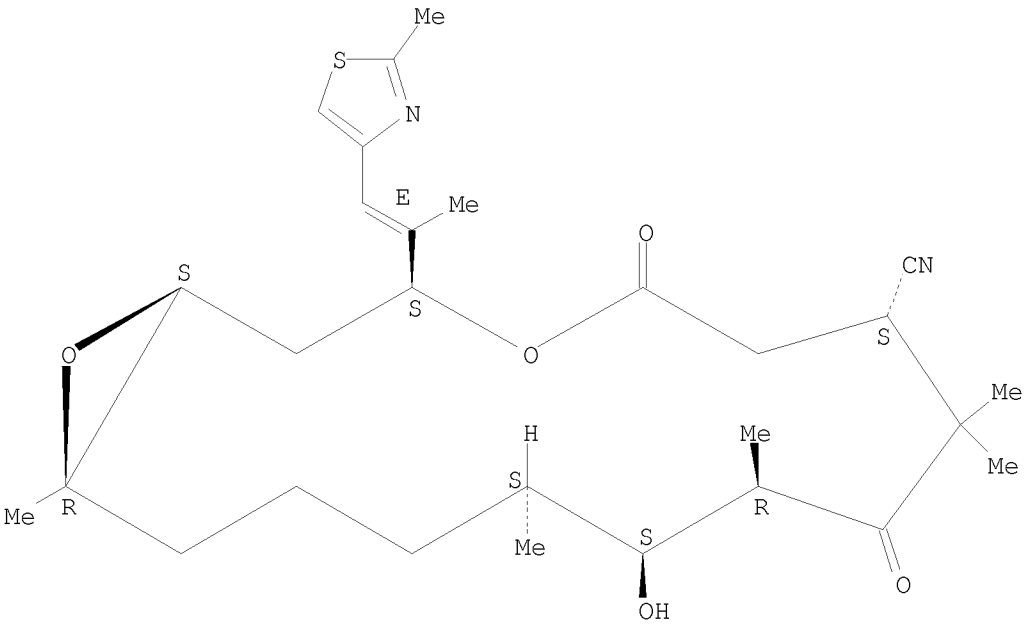
RN 476623-90-2 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7R,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



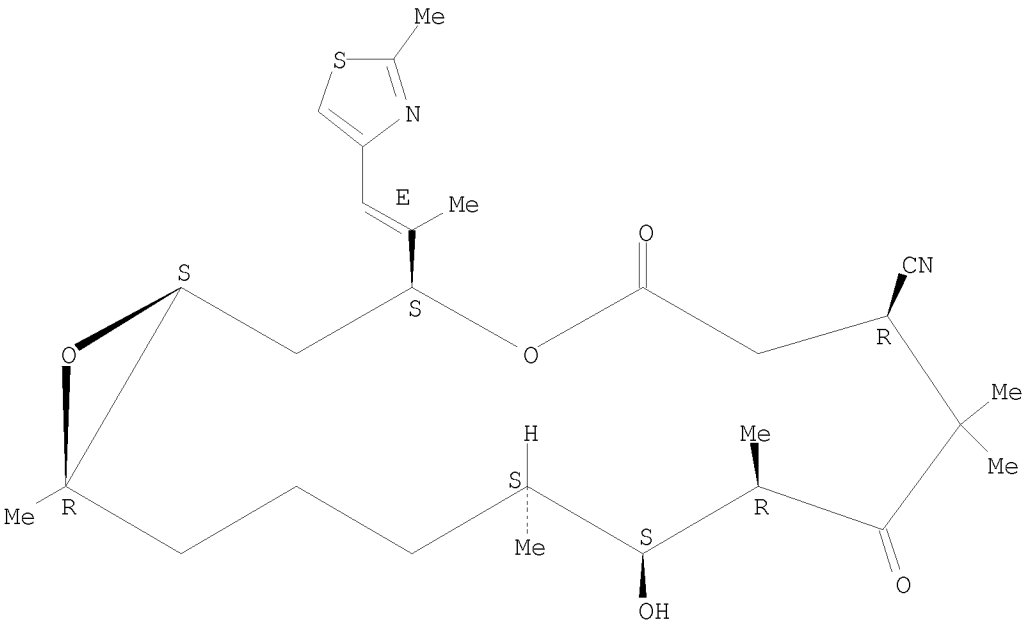
RN 476623-91-3 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 476623-92-4 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7R,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:396890 HCAPLUS
DOCUMENT NUMBER: 138:385210
TITLE: Preparation of novel epothilone derivatives via bioconversion for use in pharmaceutical compositions for the treatment of cancer and non-cancer hyperproliferative disorders
INVENTOR(S): Tang, Li; Metcalf, Brian; Katz, Leonard; Ashley, Gary W.; Lau, Janice; Licari, Peter
PATENT ASSIGNEE(S): Kosan Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042217	A2	20030522	WO 2002-US36814	20021114 <--
WO 2003042217	A3	20031002		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002363803	A1	20030526	AU 2002-363803		20021114	<--
US 20030219877	A1	20031127	US 2002-295342		20021114	<--
US 7070964	B2	20060704				
PRIORITY APPLN. INFO.:			US 2001-334734P	P	20011115	
			WO 2002-US36814	W	20021114	

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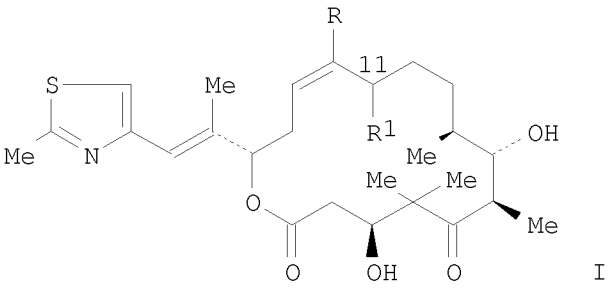


I

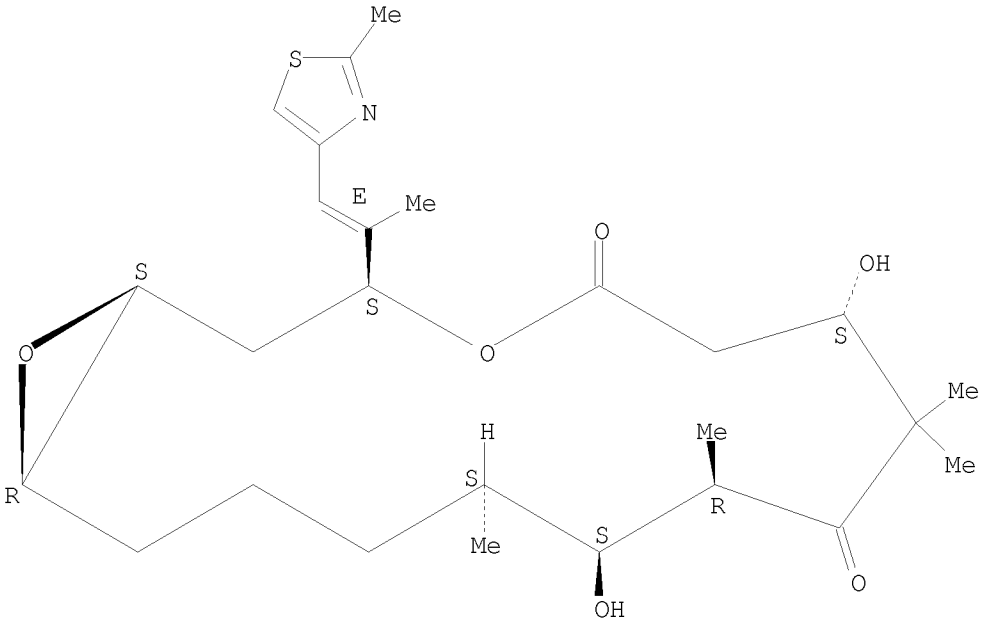
AB Epothilone derivs., such as I (R = H, Me; R1 = F, OH), were prepared via a combination of bioconversion and synthetic methods for therapeutic uses, such as the treatment of cancer, non-cancer hyperproliferative disorders, multiple sclerosis, rheumatoid arthritis, atherosclerosis, and restenosis. Organisms used for the bioformation of epothilones include Streptomyces hygroscopicus ATCC 55098, Amycolata autotrophica ATCC 35203, Actinomyces sp. strain SCl5847, Saccharopolyspora erythrea NRRL2338, Saccharopolyspora erythrea K39-14, Myxococcus xanthus K 111-72, Myxococcus xanthus K111-76 and Myxococcus xanthus K111-78. Thus, epothilone D, as well as its hydroxylated derivs., were prepared by a fermentation process using Saccharopolyspora erythrea K39-14. Other epothilone derivs., such as 11(R)- and 11(S)-fluoroepothilones, were prepared from the hydroxylated derivs. using synthetic reaction schemes. Pharmaceutical compns. and dosages of the prepared epothilones were presented.

IT 152044-53-6P, Epothilone A 152044-54-7P, Epothilone B
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel epothilone derivs. via bioconversion for use in pharmaceutical compns. for treatment of cancer and non-cancer hyperproliferative disorders)

RN 152044-53-6 HCAPLUS

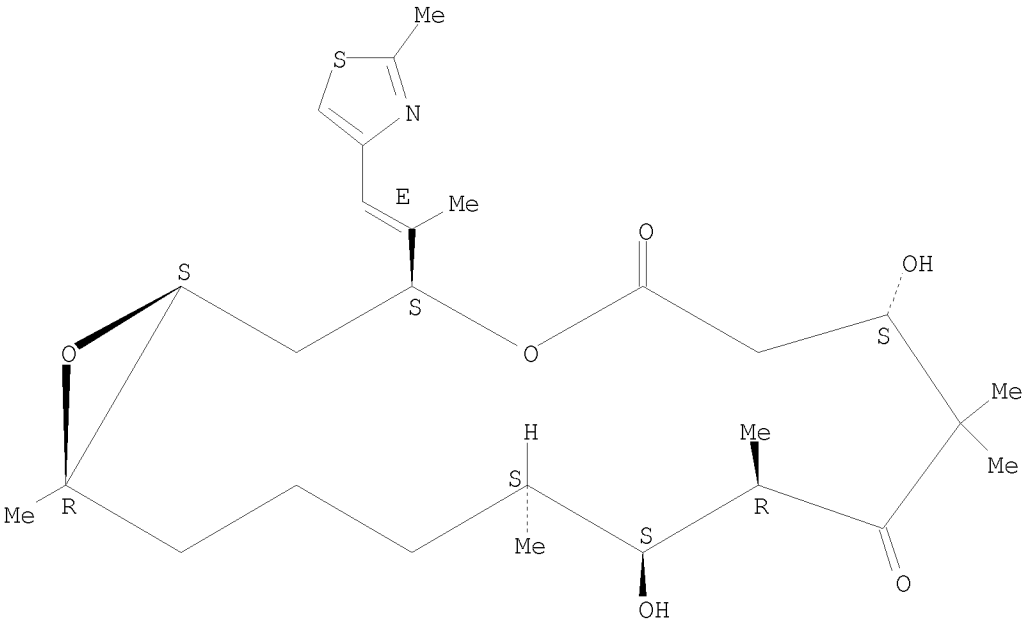
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



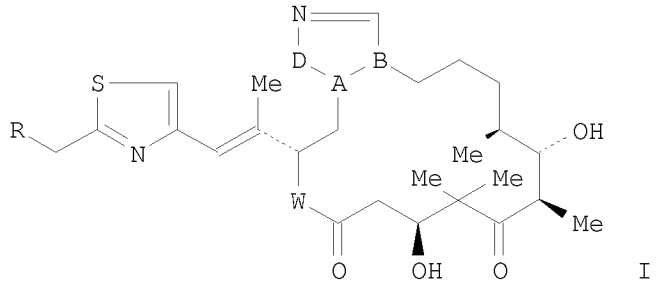
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:77585 HCAPLUS
DOCUMENT NUMBER: 138:137091
TITLE: Preparation of epothilone derivatives for therapeutic use as antitumor agents
INVENTOR(S): Ashley, Gary; Metcalf, Brian
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 38 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20030023082	A1	20030130	US 2002-145405		20020513 <--
PRIORITY APPLN. INFO.:			US 2001-291242P	P	20010515
			US 2001-309099P	P	20010731

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 138:137091
GI



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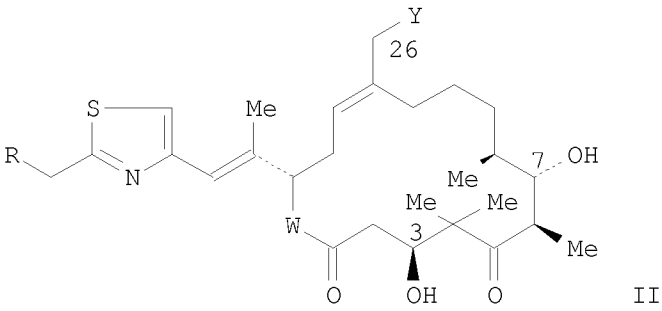


II

AB The present invention relates to the preparation of epothilone derivs., such as I and II [R = H, OH, NH2; R8 = H, C1-5-aliphatic;

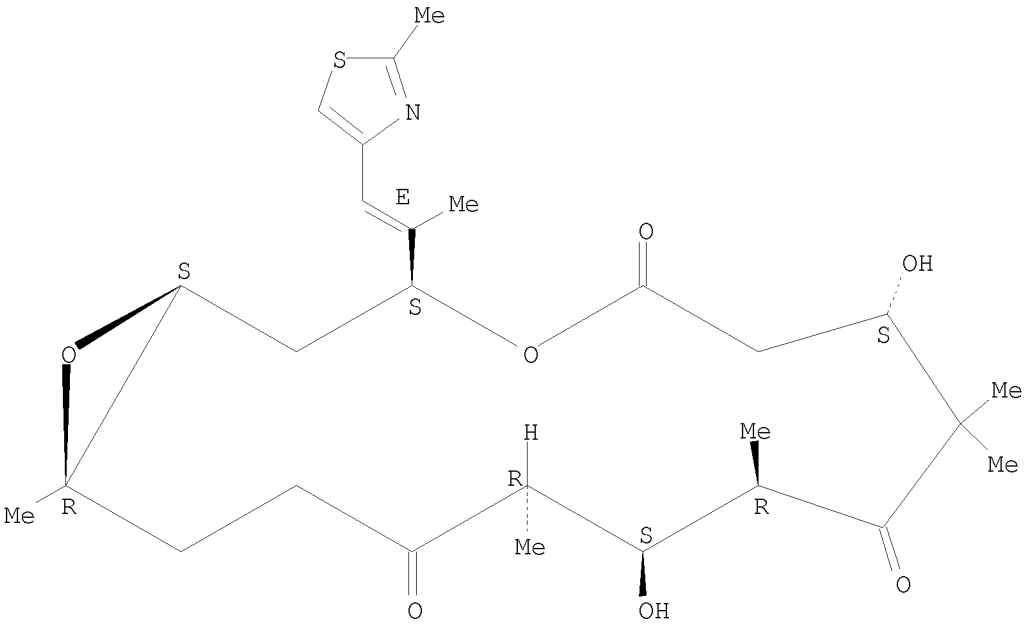
R10 = H, C1-5-aliphatic, aryl; A-B = CHCH, C:C; D = O, S, NR10, CR10:N, CONH, etc.; W = O, NR8; Y = H, heterocyclyl], for pharmaceutical use as antiproliferative and antitumor agents. These epothilone derivs. can be used for the treatment of diseases or conditions characterized by undesired cellular hyperproliferation, such as cancer, atrophic gastritis, inflammatory hemolytic anemia, graft rejection, inflammatory neutropenia, bullous pemphigoid, coeliac disease, demyelinating neuropathies, dermatomyositis, inflammatory bowel disease, multiple sclerosis, myocarditis, myositis, nasal polyps, chronic sinusitis, pemphigus vulgaris, primary glomerulonephritis, psoriasis, surgical adhesions, stenosis or restenosis, scleritis, scleroderma, eczema, periodontal disease, polycystic kidney disease, and type I diabetes. Thus, 26-(imidazol-2-yl)Epothilone D II (R = H, W = O, Y = 2-imidazolyl) by treating the 3O,7O-bis(trimethylsilyl)- derivative of 26-(methoxymethylene)epothilone D II (R = H, W = O, Y = :CHOMe) with glyoxal and ammonium acetate in THF. I.v. and liposomal pharmaceutical formulations and a pretreatment regiment for Cremophor toxicity were presented.

IT 371979-65-6P, 9-Oxoepothilone B
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of epothilone derivs. for therapeutic use as antitumor and antiproliferative agents)

RN 371979-65-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9,13-trione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12R,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

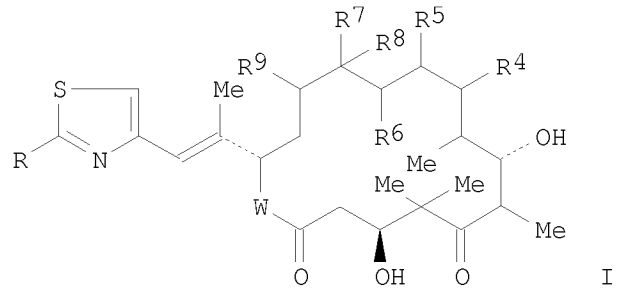


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L9 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:886112 HCAPLUS
DOCUMENT NUMBER: 136:5855
TITLE: Preparation of epothilone derivatives for pharmaceutical use in the treatment of cancer and other disorders characterized by cellular hyperproliferation
INVENTOR(S): Santi, Daniel; Fardis, Maria; Ashley, Gary
PATENT ASSIGNEE(S): Kosan Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092255	A2	20011206	WO 2001-US15763	20010515 <--
WO 2001092255	A3	20020228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020045609	A1	20020418	US 2001-859085	20010515 <--

PRIORITY APPLN. INFO.:
US 2000-207655P P 20000526
US 2000-218260P P 20000714
US 2000-231552P P 20000911
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 136:5855
GI



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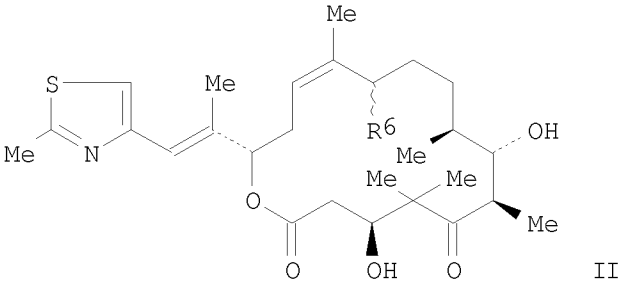


II

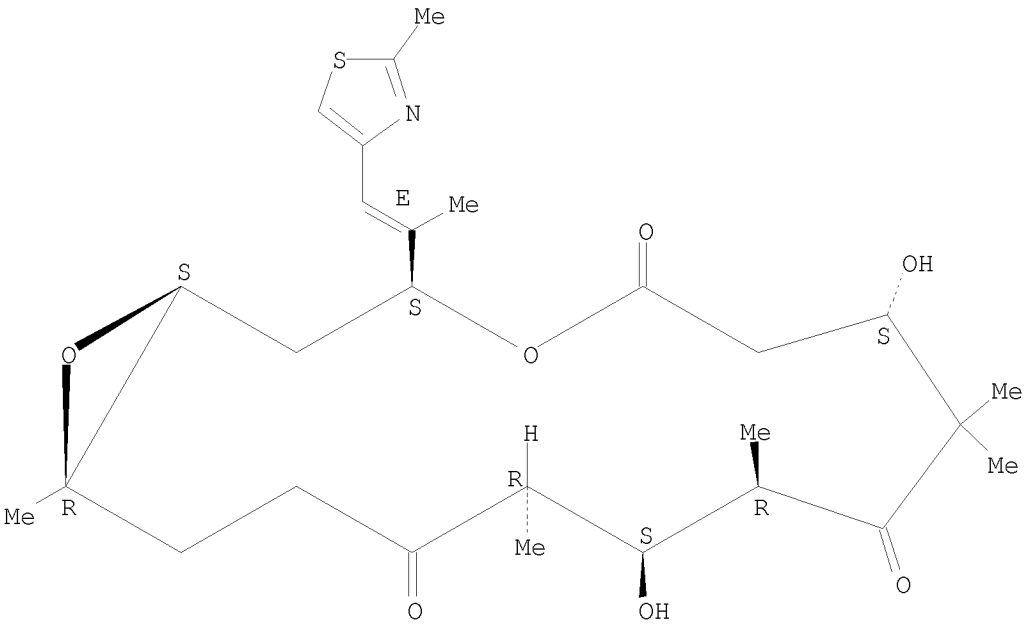
AB Epothilone derivs., such as I [R = Me, CH2OH, CHO; R4 = H, OH, oxo, amino, etc.; R5 = H, OH, oxo, R6 = H, OH, oxo, alkyl, alkylester, halogen, etc.; R7 = H, alkyl, halogen, hydroxyalkyl, alkoxyalkyl, arylalkyl, heterocyclylalkyl, etc.; R8 = R9 = H; R8R9 = bond, O; R5R6 = bond, W = O, NR11; R11 = H, alkyl, aryl], were prepared for therapeutic use in the treatment of cancer and non-cancer disorders characterized by cellular hyperproliferation. Thus, (11S)-hydroxyepothilone D II (R6 = α-OH) and its (11R)-diastereomer II (R = β-OH) were prepared by hydroxylation of epothilone D using SeO2 and Me3COOH by stirring in CH2Cl2 for 48 h. The prepared epothilone derivs. were assayed for cyctotoxicity against MCF-7 breast, MDR breast, SF-268 glioma and NCI-H460 lung cancer cell lines and were assayed for tubulin polymerization inhibition.

IT 371979-65-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and formulation of epothilone derivs. for pharmaceutical use in the treatment of cancer and other disorders characterized by cellular hyperproliferation)

RN 371979-65-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9,13-trione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12R,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:780370 HCAPLUS
DOCUMENT NUMBER: 135:331294
TITLE: Preparation of epothilone derivatives for pharmaceutical use in the treatment of cancer
INVENTOR(S): Buchmann, Bernd; Klar, Ulrich; Skuballa, Werner; Schwede, Wolfgang; Hoffmann, Jens; Lichtner, Rosemarie
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: Ger. Offen., 42 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10020517	A1	20011025	DE 2000-10020517	20000419 <--
WO 2001081342	A2	20011101	WO 2001-EP4552	20010419 <--
WO 2001081342	A3	20020510		

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EP 1276740 A2 20030122 EP 2001-936262 20010419 <--
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PRIORITY APPLN. INFO.: DE 2000-10020517 A 20000419
WO 2001-EP4552 W 20010419
OTHER SOURCE(S): MARPAT 135:331294
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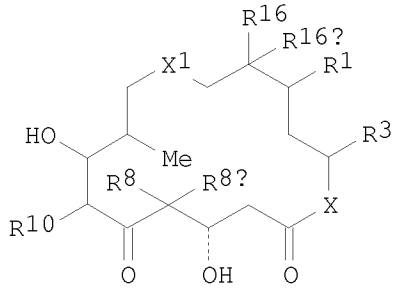

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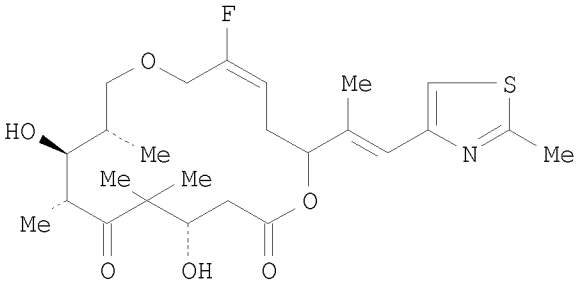


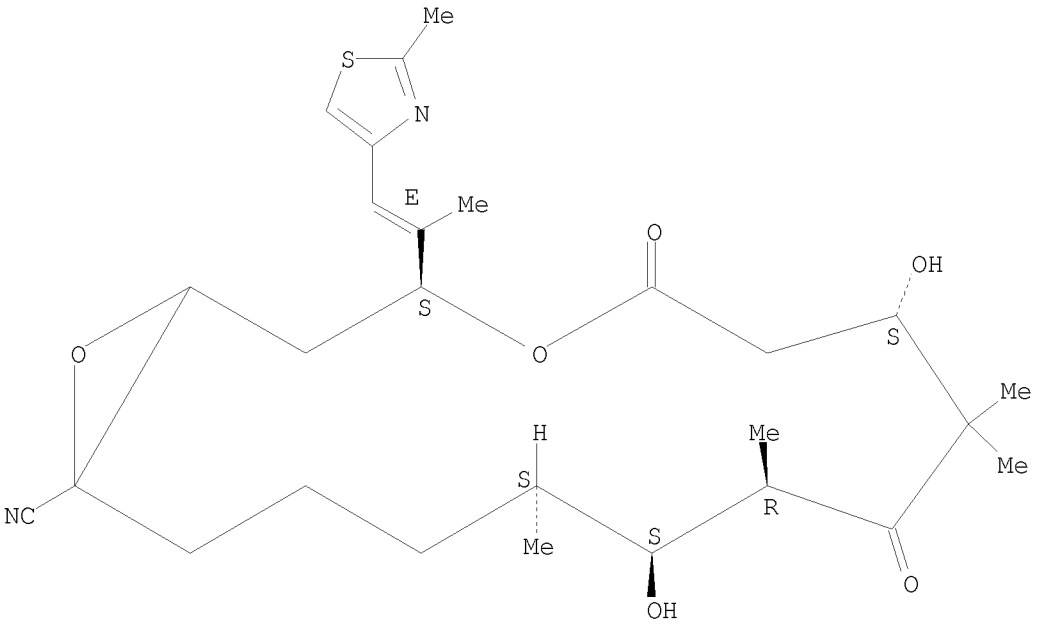
II

AB Epothilones, such as I [R3 = heteroaryl, heteroarylalkenyl, heteroarylhaloalkenyl,etc.; R8, R8a = H, alkyl, arylalkyl; R8R8a = alkylene, heteroalkene; R10 = H, alkyl, alkenyl, alkynyl; R1R16a = bond, O; R16 = H, CN, alkyl, halogen; X = O, NH; X1 = O, CH2], were prepared for a variety of therapeutic uses, such as treatment of malignant tumors, proliferative diseases, leukemia, and chronic inflammatory diseases. Thus, epothilone II was prepared via a multistep synthetic sequence starting from (3S)-dihydro-3-hydroxy-4,4-dimethyl-2(3H)-furanone, L-(-)-malic acid, and [(2-methyl-4-thiazolyl)methyl]phosphonic acid di-Et ester. Pharmaceutical formulations of the prepared oxa-epothilones were discussed, but specific biol. activity data was not presented.

IT 369646-19-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of epothilone derivs. for pharmaceutical use in the treatment of cancer)

RN 369646-19-5 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-16-carbonitrile, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (3S,7S,10R,11S,12S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L9 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:790507 HCAPLUS
DOCUMENT NUMBER: 133:362656
TITLE: Preparation of 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilone derivatives and their antitumor activity
INVENTOR(S): Klar, Ulrich; Schwede, Wolfgang; Skuballa, Werner; Buchmann, Bernd; Hoffmann, Jens; Lichtner, Rosemarie
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 298 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066589	A1	20001109	WO 2000-IB657	20000501 <--

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            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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    HK 1046681           A1    20080829    HK 2002-108204         20021113
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PRIORITY APPLN. INFO.:                       DE 1999-19921086    A1 19990430
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                                             WO 2000-IB657       W  20000501
                                             IN 2001-MN1305      A3 20011019
                                             US 2002-979939      A3 20020606
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S):         MARPAT 133:362656
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The antitumor agents, 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilones I (R1a, R1b are same or different = H, C1-C10 alkyl, C6-C12 aryl, C7-C20 aralkyl each optionally substituted; or together = (CH2)m m = 1-5 or -CH2OCH2-; R2a(R2b replace a with b) = H, substituted alkyl, aryl, aralkyl, (CH2)ra-C.tplbond.(or =)C-(CH2)pa-R26a, Q, Q1 where n = 0-5; ra, rb = the same or different and = 0-4; pa, pb = the same or different and = 0-3; R3a = H, substituted alkyl, aryl or aralkyl; R3b = OH, OPG14; R14 = H, OR14a, halogen and R14a = H, SO2-alkyl, SO2-aryl or SO2-aralkyl; R4 = H, substituted alkyl, aryl or aralkyl, halogen, OR25, CN; R26a, R26b = same or different = H, substituted alkyl, aryl or aralkyl, C1-C10 acyl or if pa or pb > 0, addnl. a group OR27; R25 = R27 = R22 = H, PG; R5 = H,

substituted alkyl, aryl or aralkyl, (CH2)sT s = 1-4, T = OR22 or halogen; R6, R7 = H or together = bond or O; G = X=CR8 or bi- or tricyclic aryl radical and R8 = H, halogen, CN, or substituted alkyl, aryl or aralkyl; X = O, two OR23 groups, C2-C10-alkylene-α,ω-dioxy straight chain or branched; H/OR9 or CR10R11 group and R23 = alkyl radical, R9 = H, PG, R10,R11 = same or different = H, substituted alkyl, aryl or aralkyl, or together with the methylene are a 5-7 carbocyclic ring; D-E = CH2CH2 or OCH2; A = OC(O), OCH2, CH2C(O), NR29C(O), NR29SO2 and R29 = H, alkyl; Z = O or H/OR12 and R12 = H, PG) were prepared Thus II was prepared in a multistep synthesis starting from (4S)-4-(2-methyl-1-oxoprop-2-yl)-2,2-dimethyl[1,3]dioxane and 5-trimethylsilylpent-4-in-1-yl magnesium bromide. II had an IC50 value [nM] of 3.0 for the growth inhibition of human MCF-7 breast- and 75 for multidrug resistant NCI/ADR carcinoma cell lines with a selectivity of 2.5. The new epothilone derivs. interact with tubulin by stabilizing microtubuli that are formed. They are able to influence the cell-splitting in a phase-specific manner and are therefore useful in treating diseases or conditions associated with the need for cell growth, division and/or proliferation. Thus the epothilone derivs. are suitable for treating malignant tumors, e.g., ovarian, stomach, colon, adeno-, breast, lung, head and neck carcinomas, malignant melanoma, acute lymphocytic and myelocytic leukemia; and for anti-angiogenesis therapy as well as for treatment of chronic inflammatory diseases (such as psoriasis, arthritis).

IT 305840-25-9P 305840-26-0P 305840-30-6P
305840-31-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-alkenyl-, 6-alkynyl- and 6-epoxyepothilone derivs. and their use in pharmaceutical prepns.)

RN 305840-25-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(2-propen-1-yl)-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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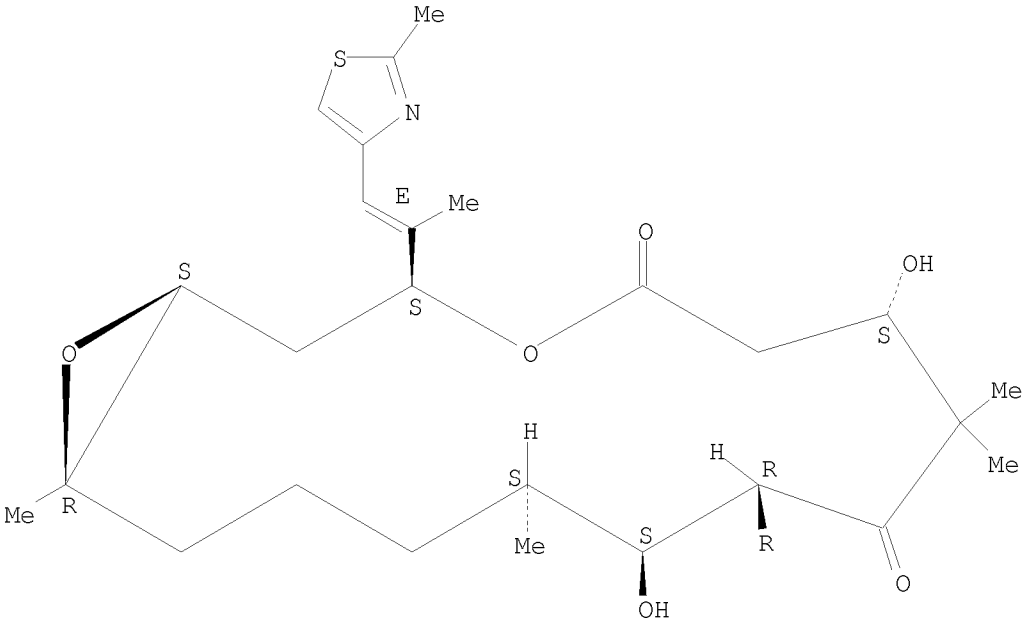

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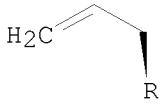

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CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(2-propen-1-yl)-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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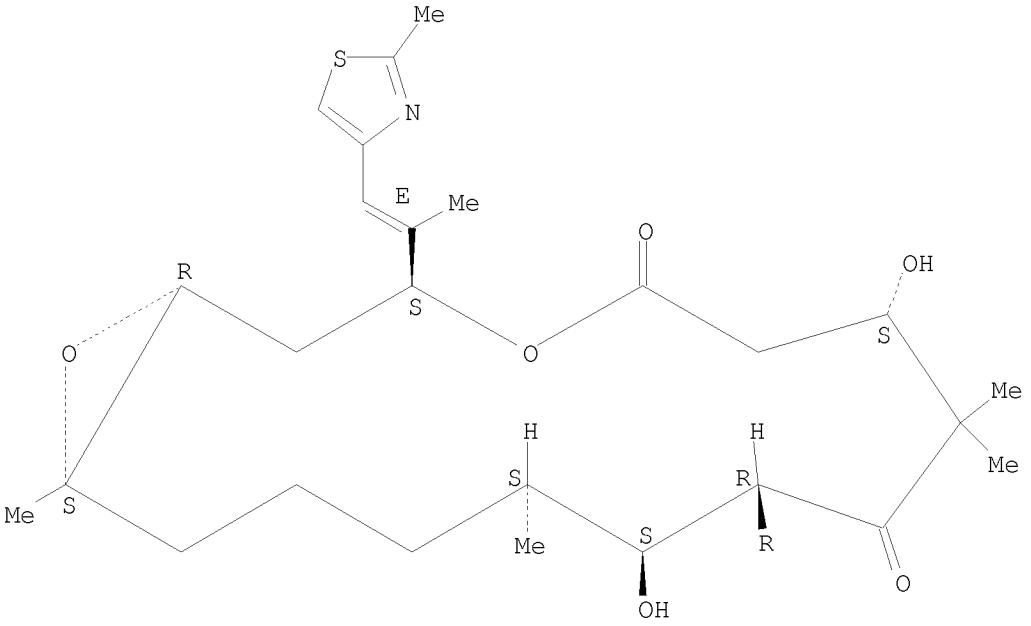

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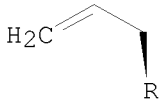

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Absolute stereochemistry.
Double bond geometry as shown.

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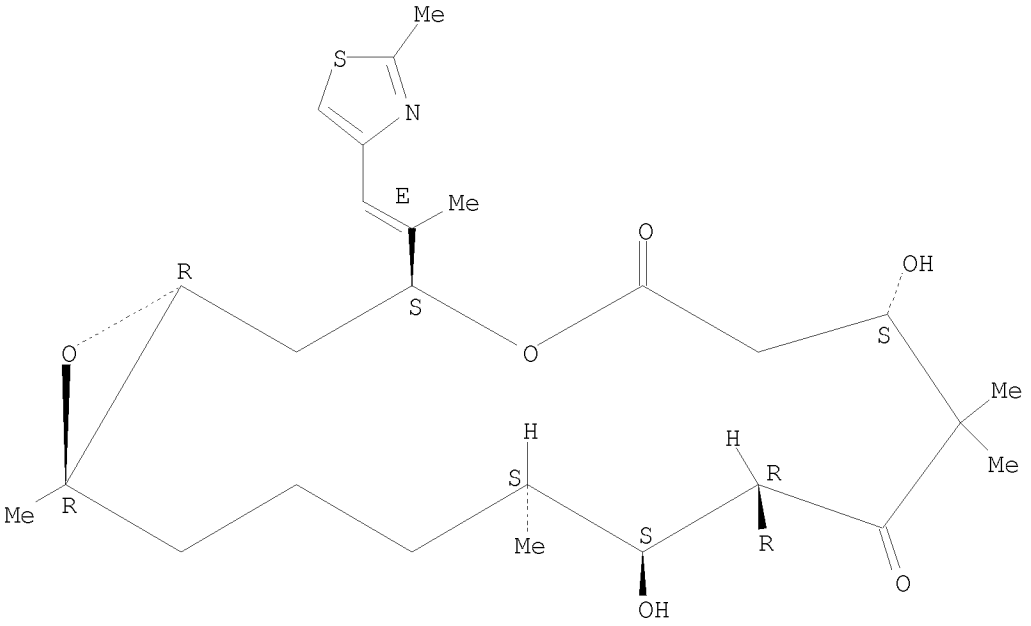

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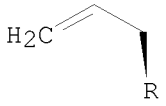

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CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(2-propen-1-yl)-, (1S,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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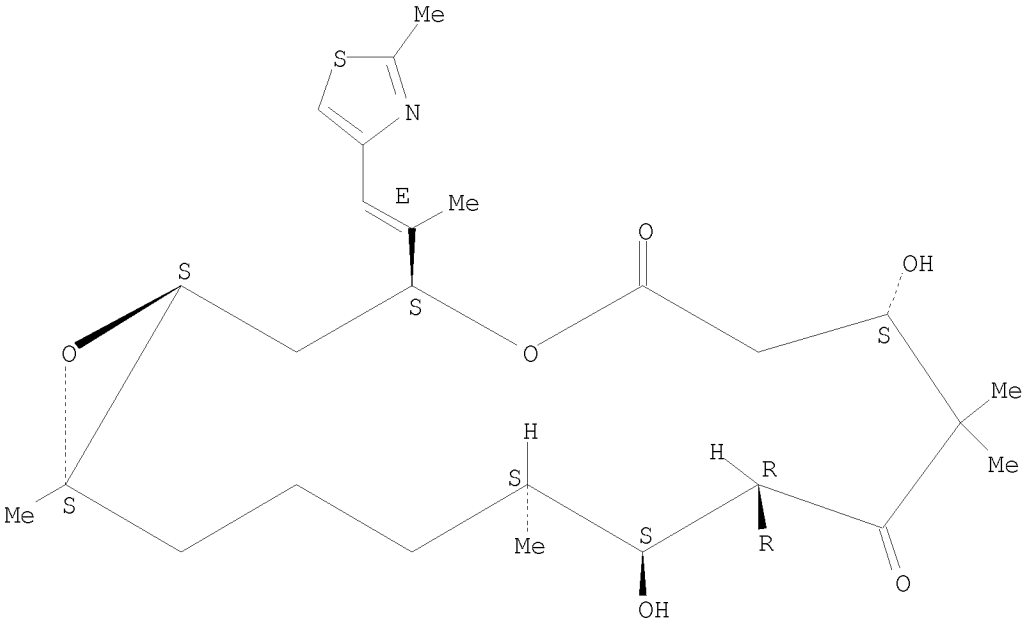

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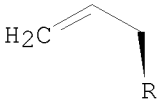

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REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:126888 HCAPLUS
DOCUMENT NUMBER: 130:196529
TITLE: Preparation of new epothilone derivatives as pharmaceutical agents
INVENTOR(S): Klar, Ulrich; Schwede, Wolfgang; Skuballa, Werner; Buchmann, Bernd; Schirner, Michael
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9907692	A2	19990218	WO 1998-EP5064	19980810 <--
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2299608	A1	19990218	CA 1998-2299608	19980810 <--
AU 9893409	A	19990301	AU 1998-93409	19980810 <--
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US 7407975	B2	20080805		
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US 20090018342	A1	20090115	US 2008-178039	20080723 <--

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	DE 1997-19749717	A	19971031
	DE 1997-19751200	A	19971113
	DE 1998-19813821	A	19980320
	WO 1998-EP5064	W	19980810
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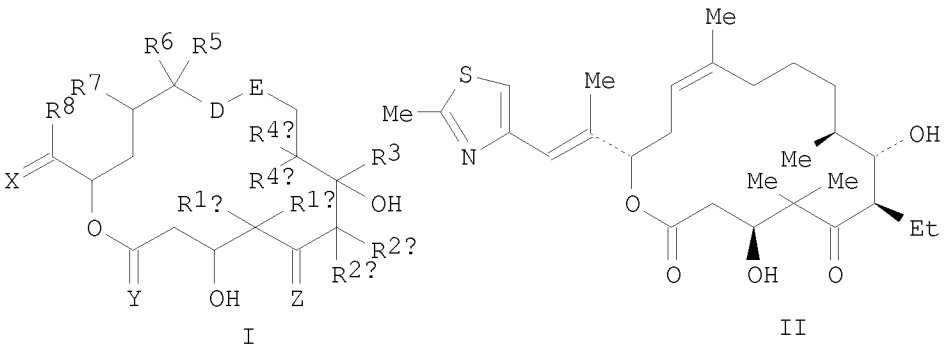
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 130:196529
GI



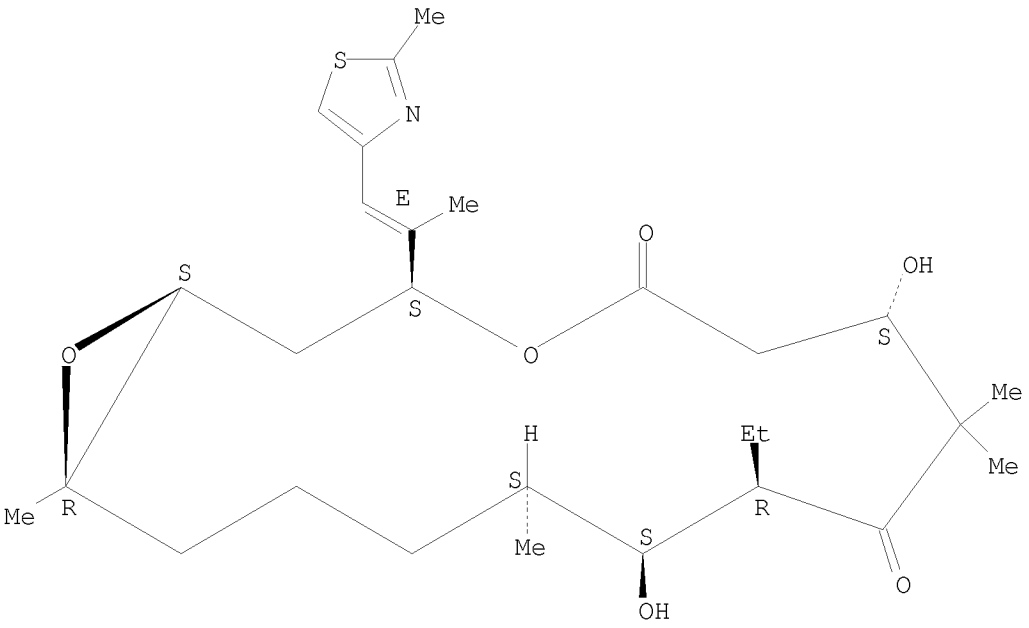
AB Epothilone derivs. of formula I [X = O, alkylene-α,ω-dioxy, two alkoxy groups, etc.; Y = O, H2; Z = O, (H, OH), (H, protected OH); R1a, R1b = H, alkyl, aryl, aralkyl, or together = (CH2)m where m = 2, 3, 4, 5; R2a, R2b = H, alkyl, aryl, aralkyl, or together = (CH2)n where n = 2, 3, 4, 5; when D-E = CH2CH2 or when Y = O, R2a or R2b may not be H/Me; R3 = H, alkyl, aryl, aralkyl; R4a, R4b = H, alkyl, aryl, aralkyl, or together = (CH2)p where p = 2, 3, 4, 5; D-E = CH2CH2, CH:CH, C.tplbond.C, 2,3-oxiranediyl, CH(OH)CH(OH), CH(OH)CH2; R5 = H, alkyl, aryl, aralkyl; R6, R7 = H, together = a saturated bond or O; R8 = H, alkyl, aryl, aralkyl all of which may be substituted] are prepared Thus, the title compds. (4S,7R,8S,9S,13E,16S(E))- and (4S,7R,8S,9S,13Z,16S(E))-4,8-dihydroxy-7-ethyl-16-(1-methyl-2-(2-methyl-4-thiazolyl)ethenyl)-1-oxa-5,5,9,13-tetramethylcyclohexadec-13-en-2,6-dione (II) were prepared in many steps. The new compds. interact with tubulin by stabilizing formed microtubuli. They are capable of influencing cell division in a phase-specific manner and are suitable for the treatment of malignant tumors, such as ovarian, gastric, colon, breast, lung, head and neck carcinoma, adenocarcinoma, malignant melanoma, and acute lymphocytic and myelocytic leukemia. They are also suited for anti-angiogenesis therapy and for the treatment of chronic inflammatory diseases (psoriasis, arthritis). To prevent uncontrolled cell growth on, and for better tolerability of, medical implants, the derivs. can be introduced into or applied to polymeric materials. The compds. provided for in the invention can be used alone or, to achieve additive or synergistic effects, in combination with other principles and substance categories used in tumor therapy.

IT 220773-47-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of epothilone derivs. as antitumor agents)

RN 220773-47-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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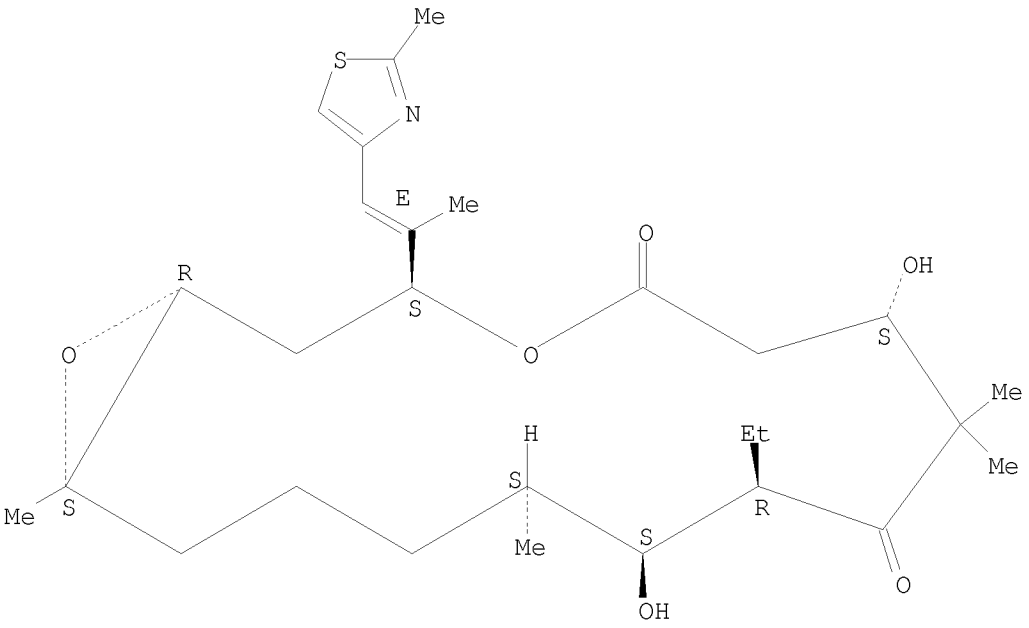
220776-52-3P 220776-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of epothilone derivs. as antitumor agents)

RN 220773-48-8 HCAPLUS

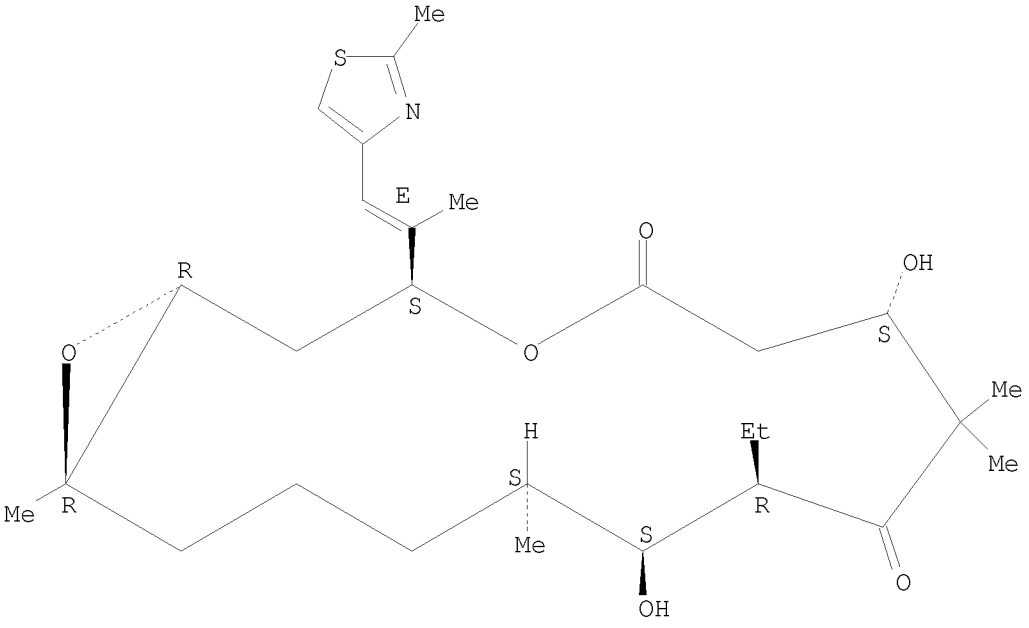
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



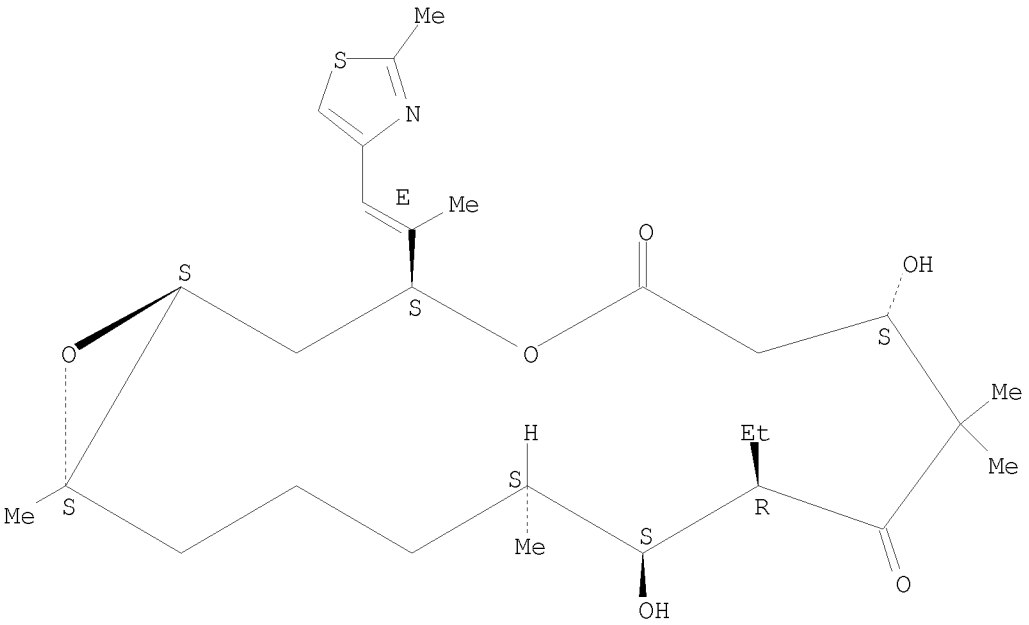
RN 220773-49-9 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1R,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



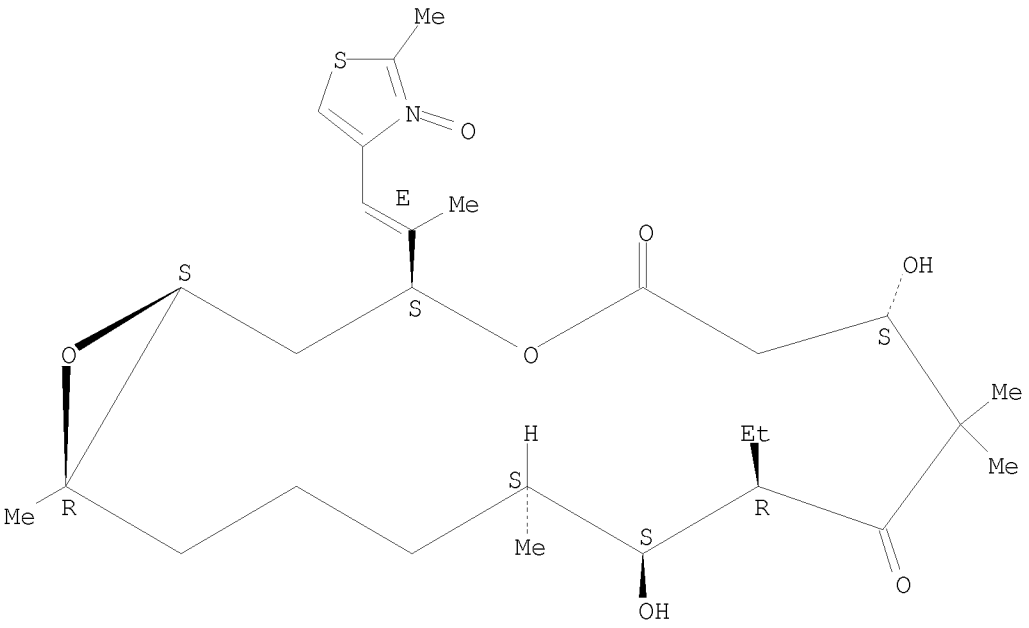
RN 220773-50-2 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



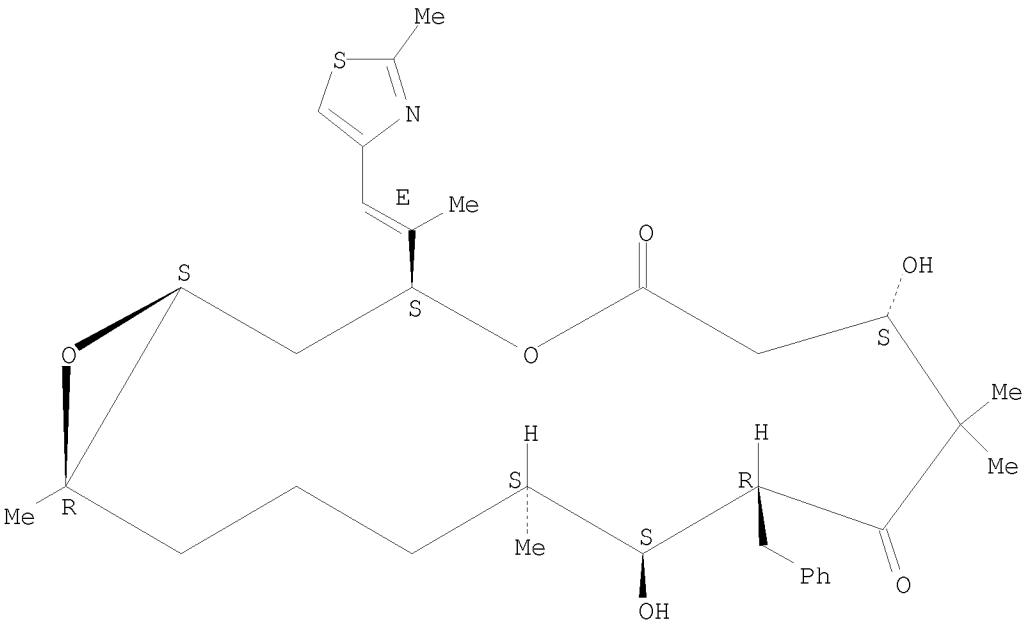
RN 220773-61-5 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



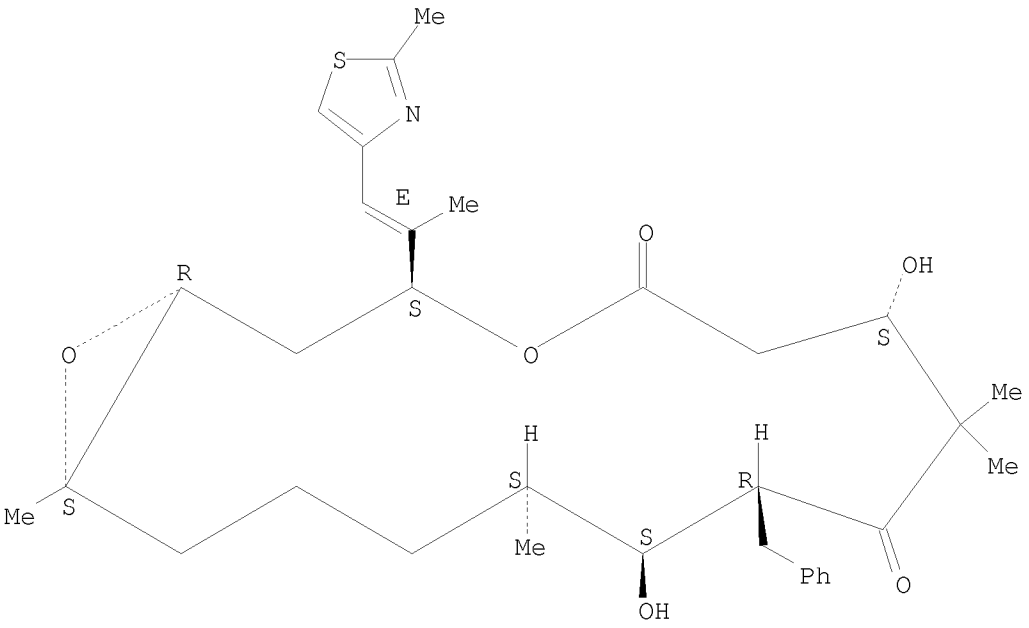
RN 220773-64-8 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(phenylmethyl)-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



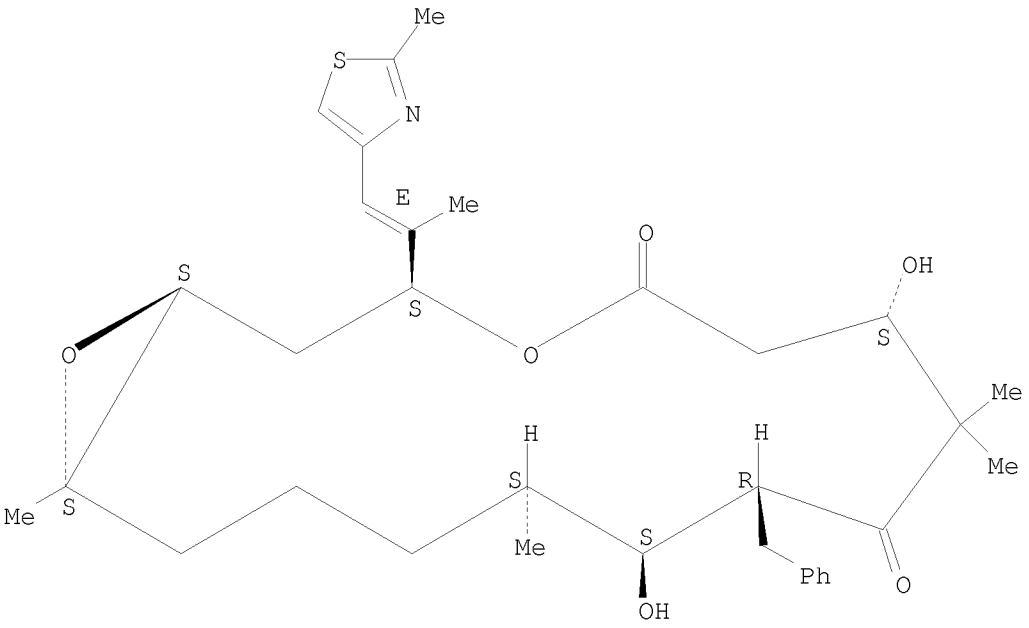
RN 220773-65-9 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(phenylmethyl)-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



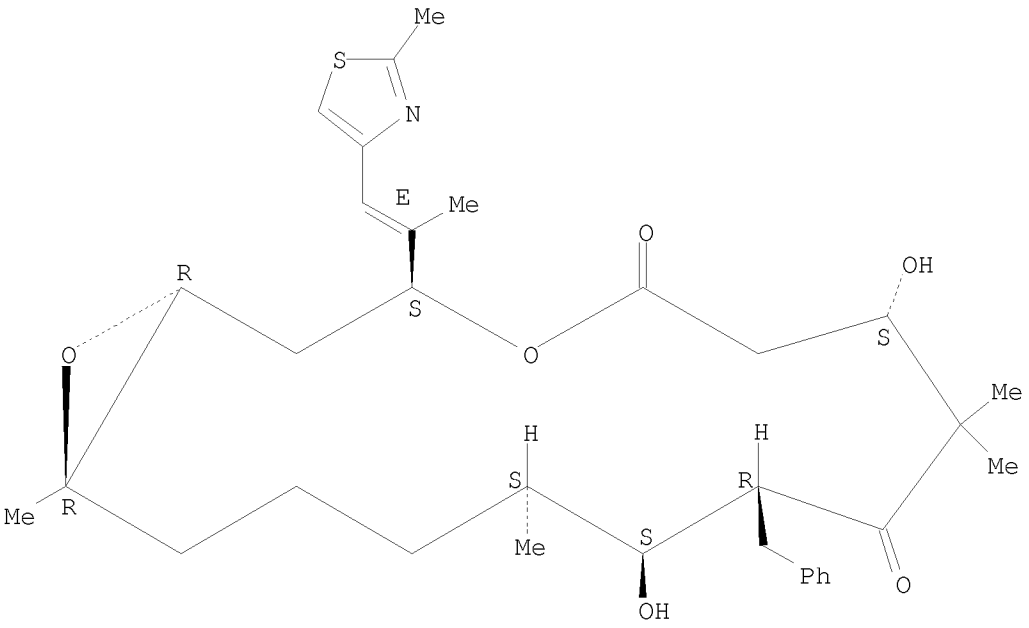
RN 220773-66-0 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(phenylmethyl)-, (1S,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



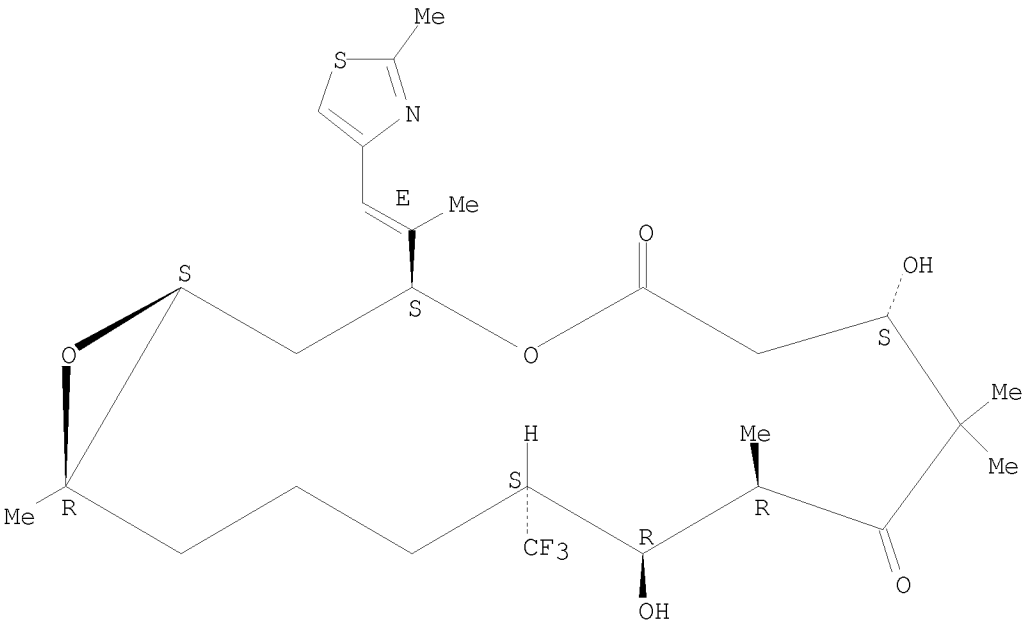
RN 220773-67-1 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-(phenylmethyl)-, (1R,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



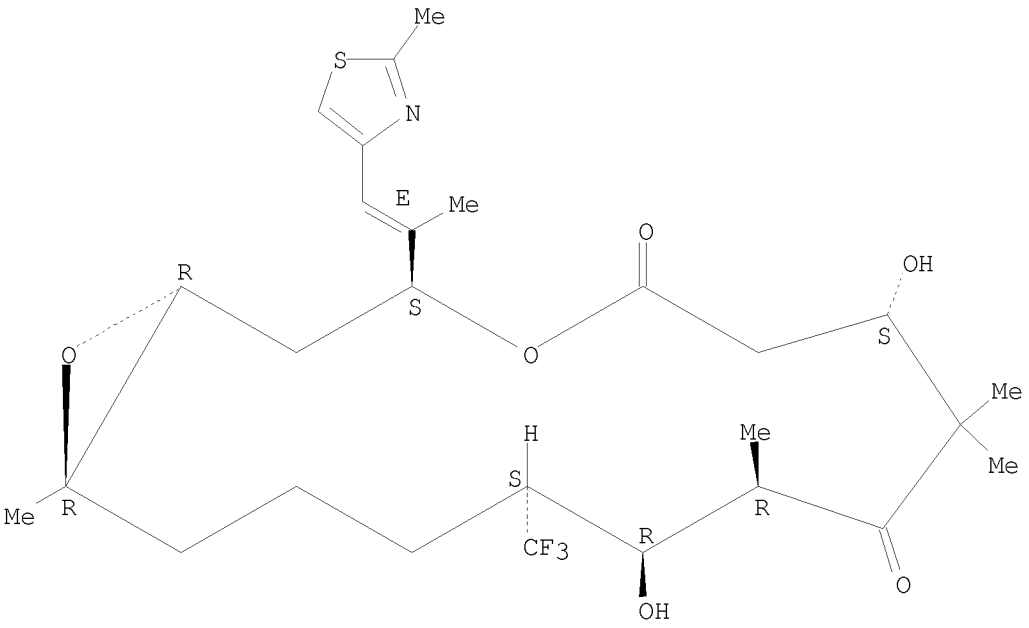
RN 220776-29-4 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-12-(trifluoromethyl)-, (1S,3S,7S,10R,11R,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



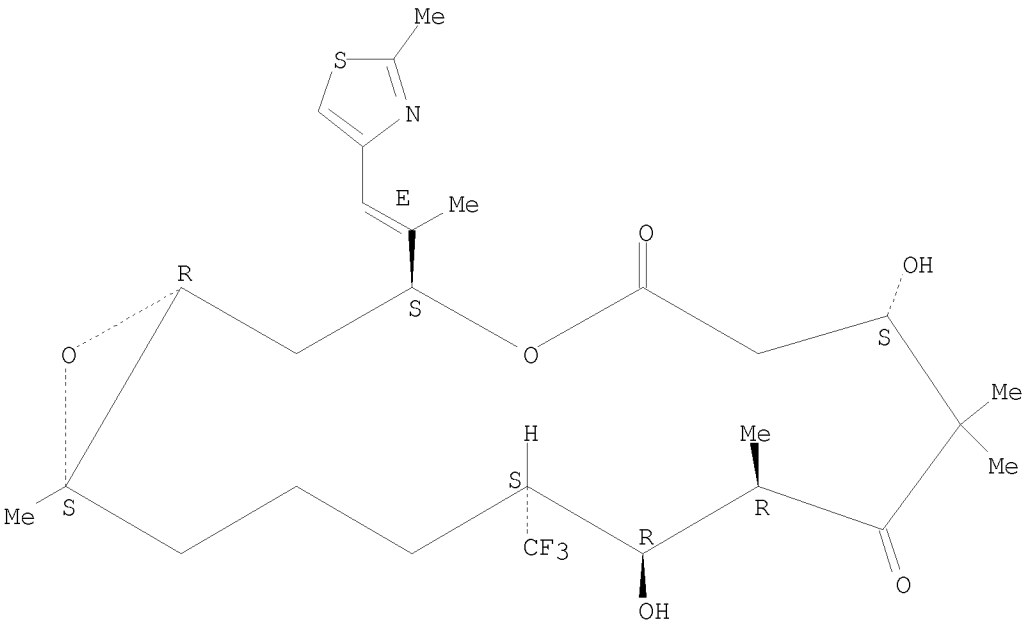
RN 220776-30-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-12-(trifluoromethyl)-, (1R,3S,7S,10R,11R,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



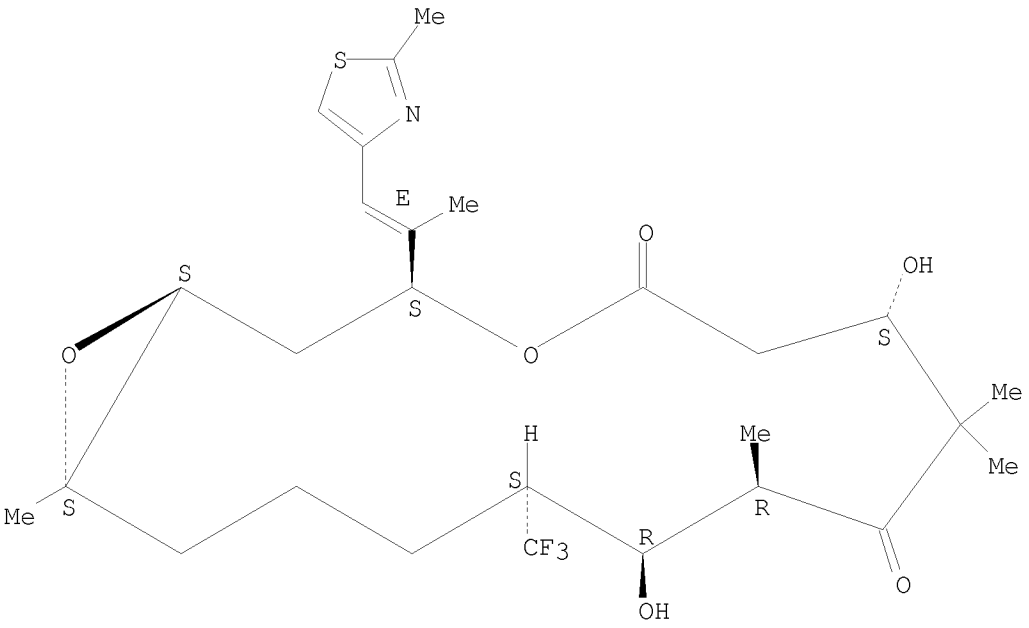
RN 220776-31-8 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-12-(trifluoromethyl)-, (1R,3S,7S,10R,11R,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



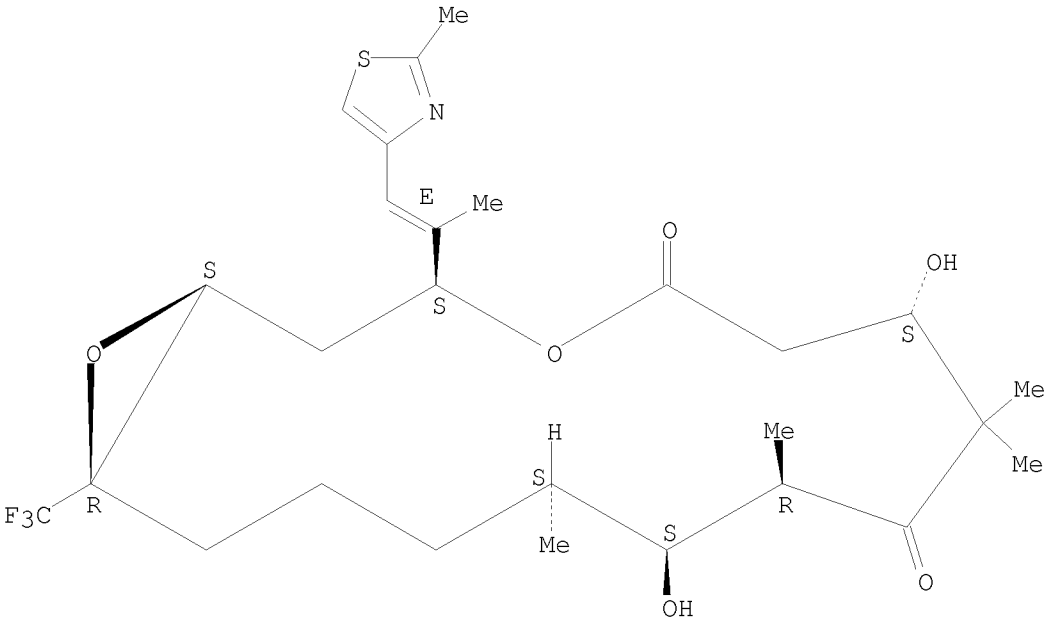
RN 220776-32-9 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-12-(trifluoromethyl)-, (1S,3S,7S,10R,11R,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



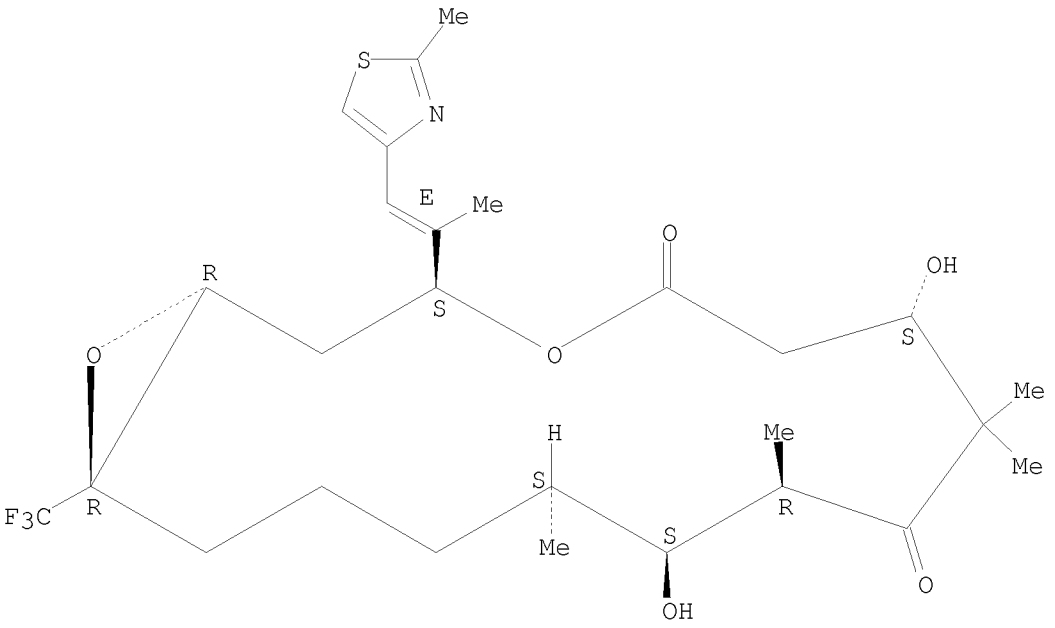
RN 220776-44-3 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(trifluoromethyl)-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



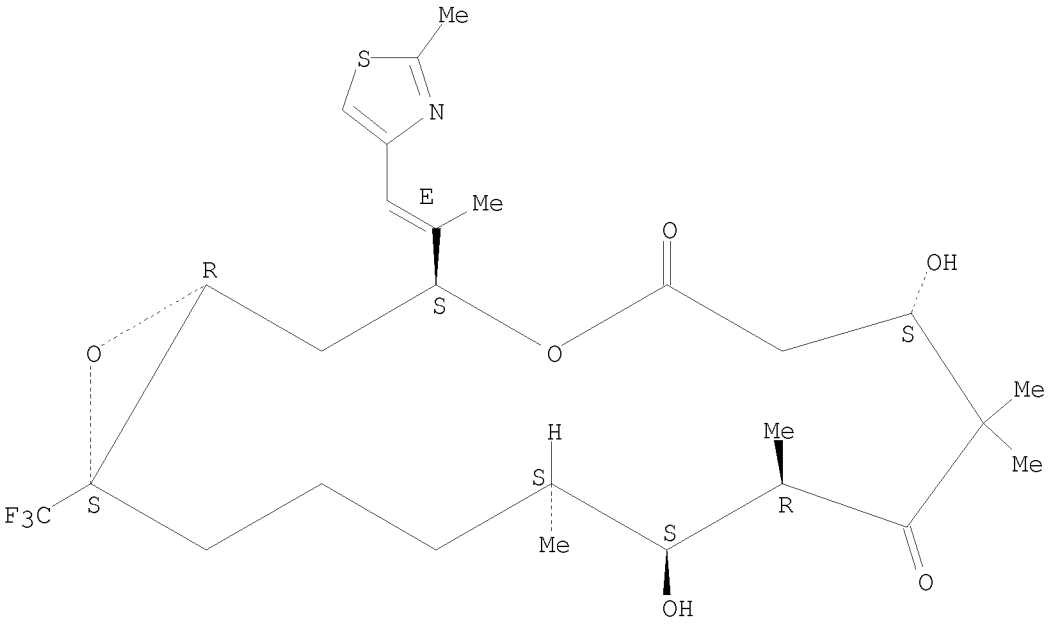
RN 220776-45-4 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(trifluoromethyl)-, (1R,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



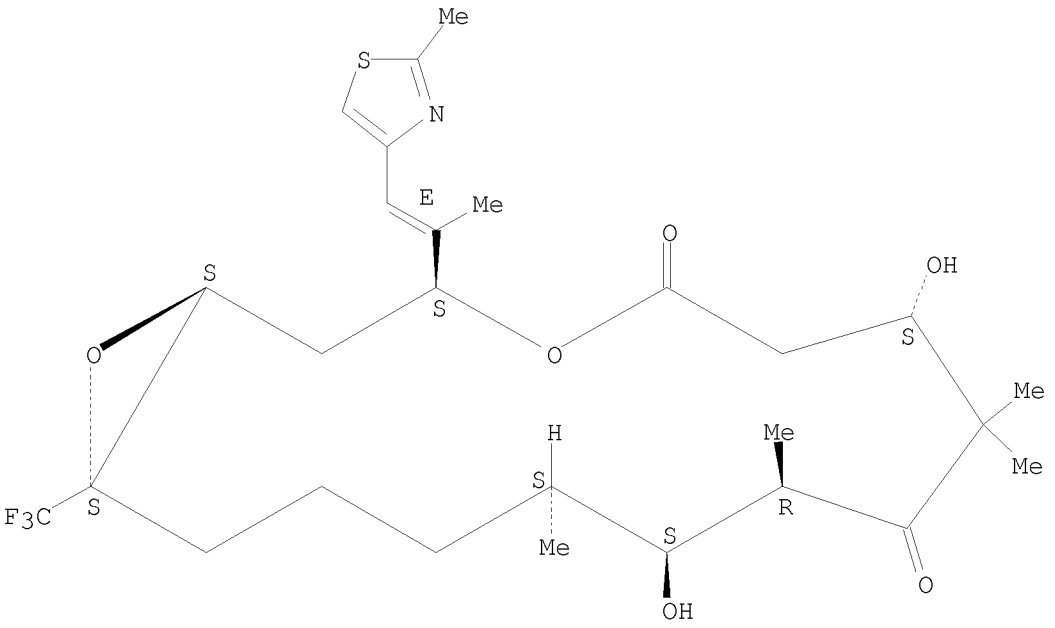
RN 220776-46-5 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(trifluoromethyl)-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 220776-47-6 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(trifluoromethyl)-, (1S,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

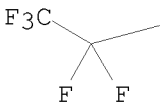
Absolute stereochemistry.
Double bond geometry as shown.



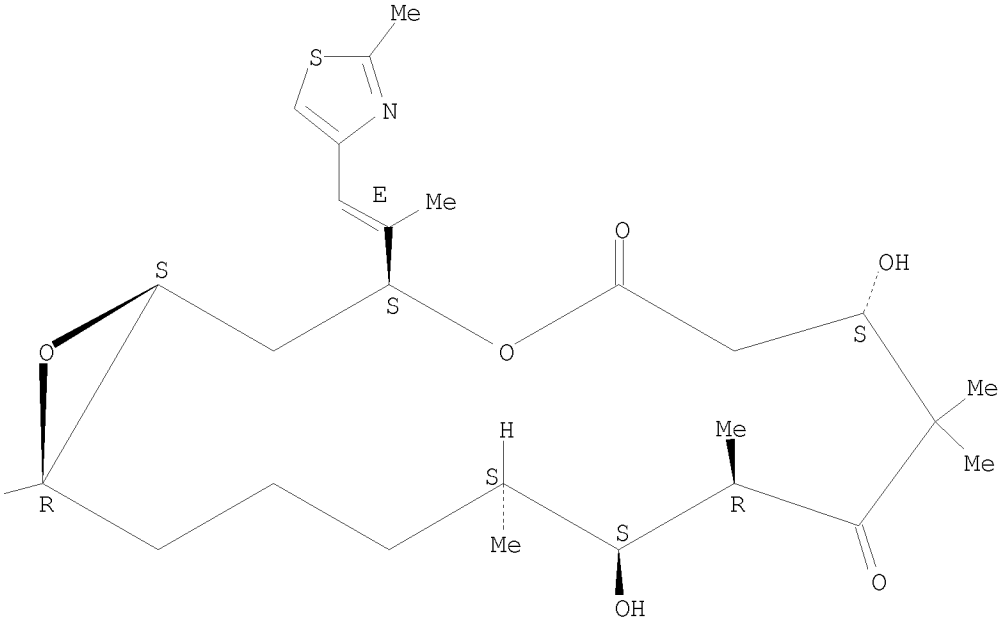
RN 220776-50-1 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(1,1,2,2,2-pentafluoroethyl)-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



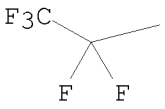
PAGE 1-B



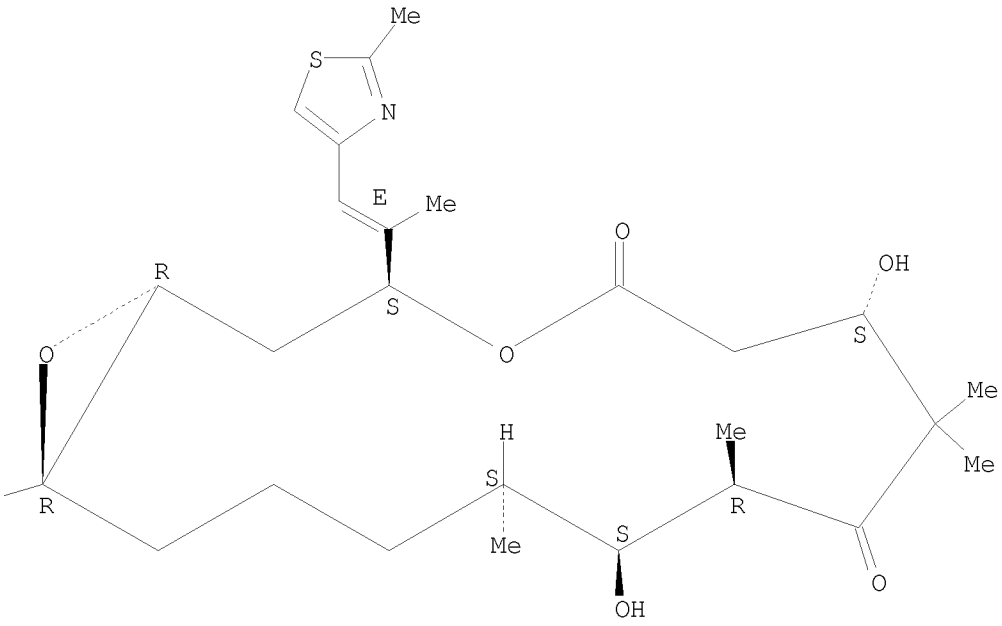
RN 220776-51-2 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(1,1,2,2,2-pentafluoroethyl)-, (1R,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

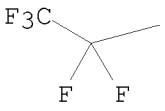


RN 220776-52-3 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(1,1,2,2,2-pentafluoroethyl)-,

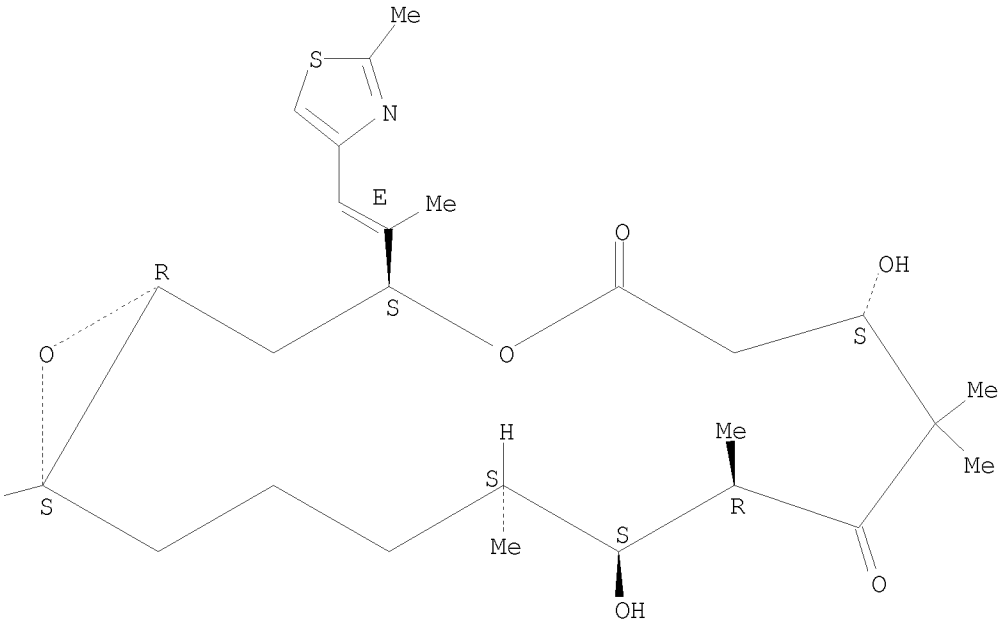
(1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



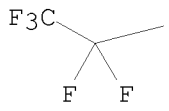
PAGE 1-B



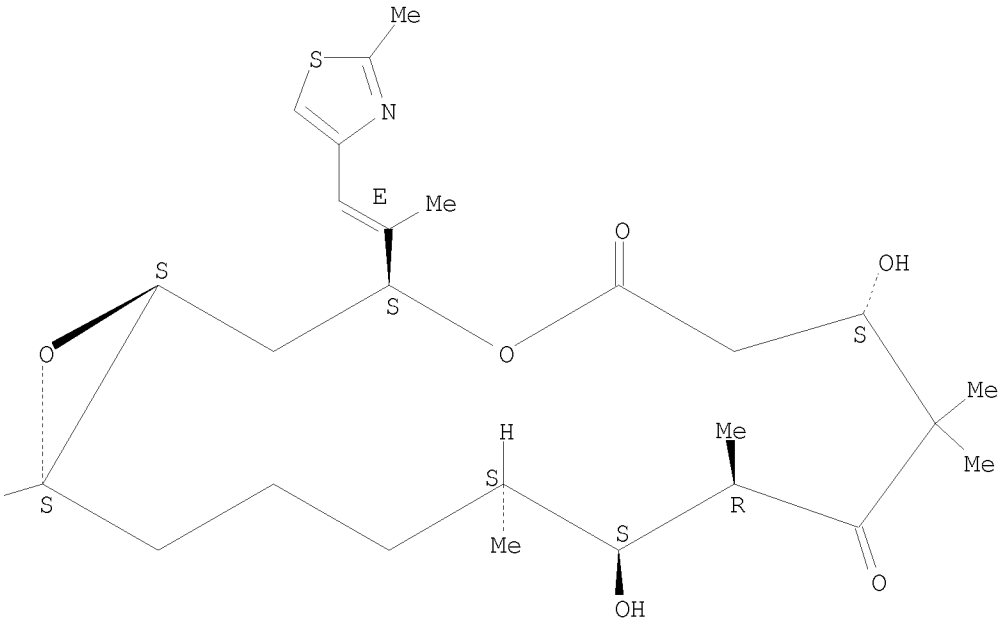
RN 220776-53-4 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-16-(1,1,2,2,2-pentafluoroethyl)-, (1S,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:456769 HCAPLUS
DOCUMENT NUMBER: 127:50474
ORIGINAL REFERENCE NO.: 127:9629a
TITLE: Preparation of epothilone derivatives as agrochemicals and pharmaceuticals
INVENTOR(S): Hoefle, Gerhard; Kiffe, Michael
PATENT ASSIGNEE(S): Gesellschaft fuer Biotechnologische Forschung Mbh (Gbf), Germany
SOURCE: Ger. Offen., 9 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19542986	A1	19970522	DE 1995-19542986	19951117 <--
WO 9719086	A1	19970529	WO 1996-EP5080	19961118 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 873341	A1	19981028	EP 1996-939097	19961118 <--
EP 873341	B1	20030910		
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IE, FI
EP 903348 A1 19990324 EP 1998-121523 19961118 <--
EP 903348 B1 20020605
EP 903348 B2 20080827
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JP 2000500757 T 20000125 JP 1997-519381 19961118 <--
JP 4183099 B2 20081119
EP 1186606 A1 20020313 EP 2001-127352 19961118 <--
EP 1186606 B1 20040317
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AT 218556 T 20020615 AT 1998-121523 19961118 <--
PT 903348 E 20021129 PT 1998-121523 19961118 <--
ES 2178093 T3 20021216 ES 1998-121523 19961118 <--
AT 249463 T 20030915 AT 1996-939097 19961118 <--
PT 873341 E 20040227 PT 1996-939097 19961118 <--
AT 261961 T 20040415 AT 2001-127352 19961118 <--
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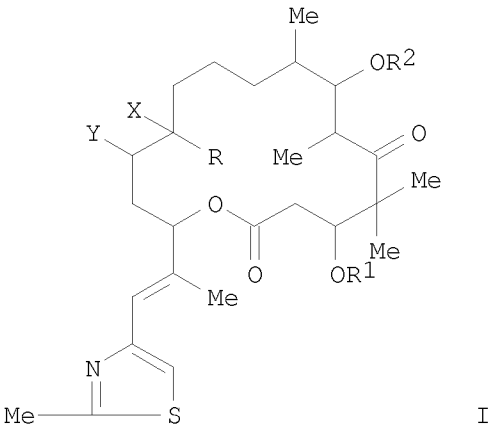
ES 2218328 T3 20041116 ES 2001-127352 19961118 <--
US 6288237 B1 20010911 US 1998-77055 19980803 <--
US 20010034452 A1 20011025 US 2001-836134 20010416 <--
US 6613912 B2 20030902
US 20040087634 A1 20040506 US 2003-602770 20030625 <--
US 6831076 B2 20041214
PRIORITY APPLN. INFO.: DE 1995-19542986 A 19951117
DE 1996-19639456 A 19960925
EP 1996-939097 A3 19961118
EP 2001-127352 A3 19961118
WO 1996-EP5080 W 19961118
US 1998-77055 A3 19980803
US 2001-836134 A3 20010416
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 127:50474
GI



I

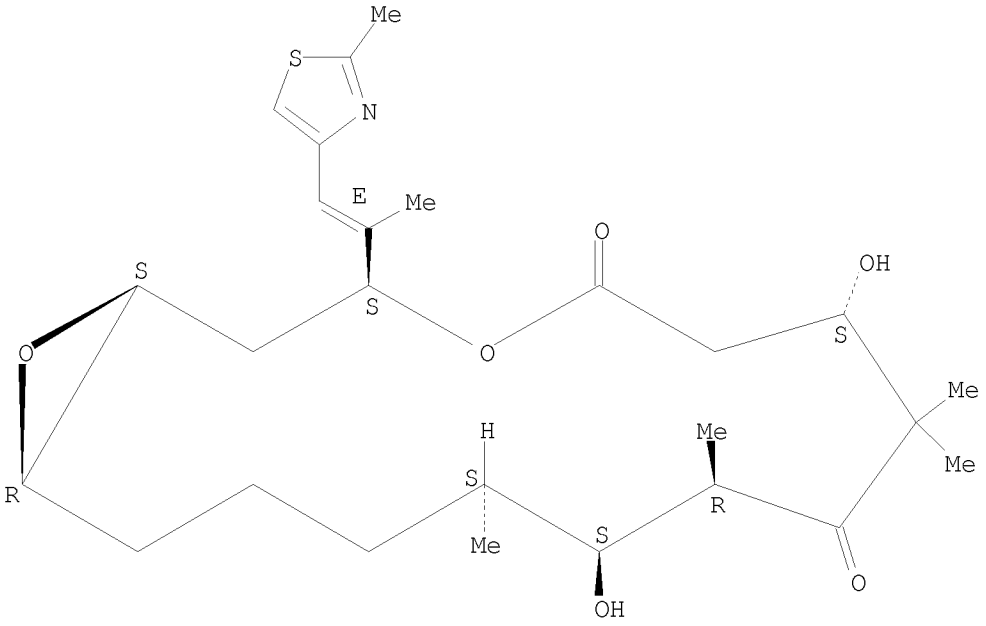
AB The title compds., e.g., I [R = H, C1-4 alkyl; R1, R2 = H, C1-6 alkyl, C1-6 acyl, benzoyl, C1-4 trialkylsilyl, benzyl, Ph, C1-6 alkoxy, C6 alkyl-, hydroxy-, and halo-substituted benzyl or phenyl; X, Y = halo, OH, acyloxy, alkoxy, benzoyloxy] , useful as agrochems. and pharmaceuticals (no data), are prepared Thus, epothilone A in acetone containing trifluoroacetic acid was heated overnight at 50° and the reaction mixture was adjusted to pH 7 with 1 M phosphate buffer to give 2 isomers, each in 19% yield.

IT 152044-53-6, Epothilone A 152044-54-7, Epothilone B
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of epothilone derivs. as agrochems. and pharmaceuticals)

RN 152044-53-6 HCAPLUS

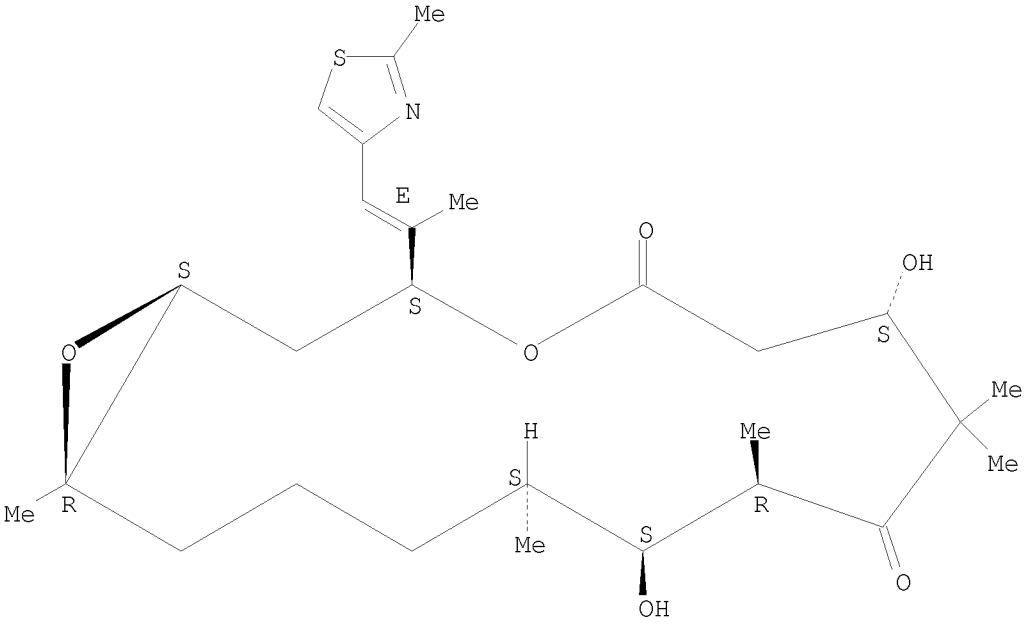
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L9 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:443365 HCAPLUS
DOCUMENT NUMBER: 127:81289
ORIGINAL REFERENCE NO.: 127:15585a,15588a
TITLE: Preparation of epothilone derivatives as agrochemicals and pharmaceuticals
INVENTOR(S): Hofle, Gerhard; Kiffe, Michael
PATENT ASSIGNEE(S): Gesellschaft Fur Biotechnologische Forschung Mbh (Gbf), Germany; Hofle, Gerhard; Kiffe, Michael
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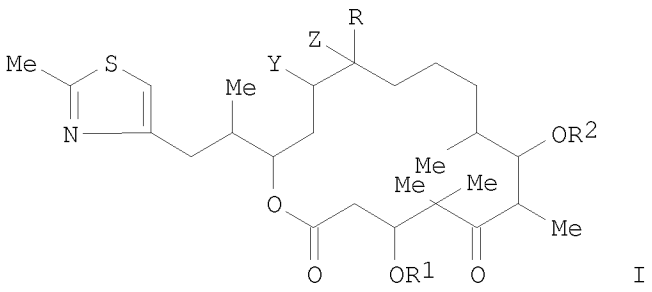
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719086	A1	19970529	WO 1996-EP5080	19961118 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19542986	A1	19970522	DE 1995-19542986	19951117 <--
DE 19639456	A1	19980326	DE 1996-19639456	19960925 <--
EP 873341	A1	19981028	EP 1996-939097	19961118 <--
EP 873341	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000500757	T	20000125	JP 1997-519381	19961118 <--
JP 4183099	B2	20081119		
AT 249463	T	20030915	AT 1996-939097	19961118 <--
US 6288237	B1	20010911	US 1998-77055	19980803 <--
US 20040087634	A1	20040506	US 2003-602770	20030625 <--
US 6831076	B2	20041214		

PRIORITY APPLN. INFO.:		
DE 1995-19542986	A	19951117
DE 1996-19639456	A	19960925
WO 1996-EP5080	W	19961118
US 1998-77055	A3	19980803
US 2001-836134	A3	20010416

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 127:81289
GI



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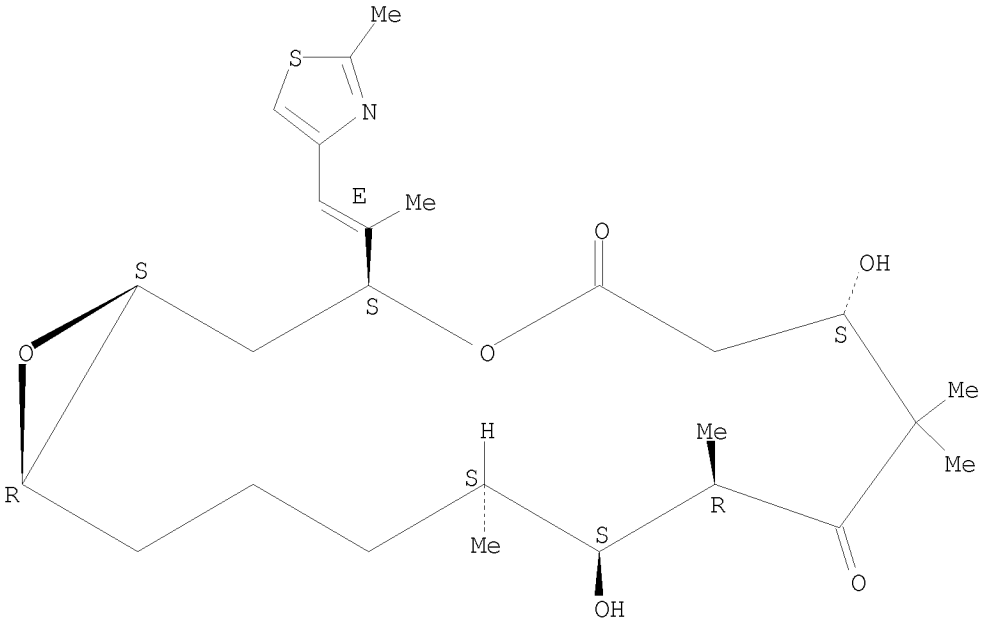
AB The title compds., e.g., I [R = H, C1-4 alkyl; R1, R2 = H, C1-6 alkyl, C1-6 acyl, benzoyl, C1-4 trialkylsilyl, benzyl, Ph, C1-6 alkoxy, C6 alkyl-, hydroxy-, and halo-substituted benzyl or phenyl; X, Y = H, halo, pseudohalo, OH, acyloxy, alkoxy, benzoyloxy; or YZ = O, bond; however, I may not be epothilone A or B] , useful as agrochems. and pharmaceuticals (no data), are prepared Thus, epothilone A in acetone containing trifluoroacetic acid was heated overnight at 50° and the reaction mixture was adjusted to pH 7 with 1 M phosphate buffer to give 2 isomers, each in 19% yield.

IT 152044-53-6, Epothilone A 152044-54-7, Epothilone B
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of epothilone derivs. as agrochems. and pharmaceuticals)

RN 152044-53-6 HCAPLUS

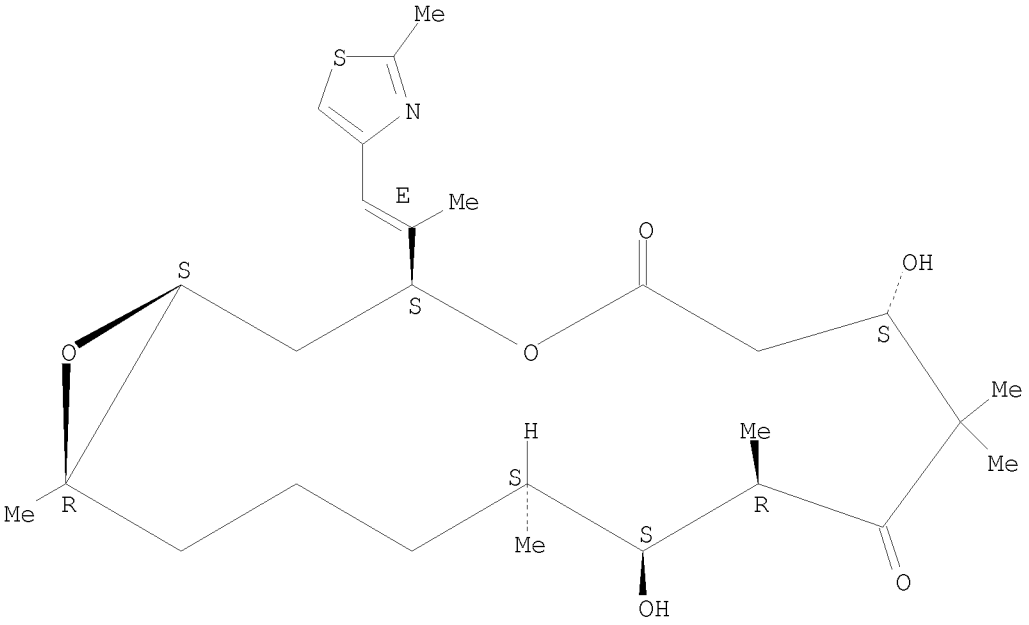
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 152044-54-7 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	122.06	313.82

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-13.60	-13.60

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